10070249

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1613sxw

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4	Apr 09	ZDB will be removed from STN
NEWS 5	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 9	Jun 03	New e-mail delivery for search results now available
NEWS 10	Jun 10	MEDLINE Reload
NEWS 11	Jun 10	PCTFULL has been reloaded
NEWS 12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS 13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS 14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS 15	Jul 30	NETFIRST to be removed from STN
NEWS 16	Aug 08	CANCERLIT reload
NEWS 17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18	Aug 08	NTIS has been reloaded and enhanced
NEWS 19	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 20	Aug 19	IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 21	Aug 19	The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 23	Sep 03	JAPIO has been reloaded and enhanced
NEWS 24	Sep 16	Experimental properties added to the REGISTRY file
NEWS 25	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 26	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 27	Oct 21	EVENTLINE has been reloaded
NEWS 28	Oct 24	BEILSTEIN adds new search fields
NEWS 29	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 30	Oct 25	MEDLINE SDI run of October 8, 2002
NEWS 31	Nov 18	DKILIT has been renamed APOLLIT
NEWS 32	Nov 25	More calculated properties added to REGISTRY
NEWS 33	Dec 02	TIBKAT will be removed from STN
NEWS 34	Dec 04	CSA files on STN
NEWS 35	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 36	Dec 17	TOXCENTER enhanced with additional content
NEWS 37	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 38	Dec 30	ISMEC no longer available
NEWS 39	Jan 13	Indexing added to some pre-1967 records in CA/CAPLUS
NEWS 40	Jan 21	NUTRACEUT offering one free connect hour in February 2003
NEWS 41	Jan 21	PHARMAML offering one free connect hour in February 2003

```
 NEWS 42   Jan 29  Simultaneous left and right truncation added to COMPENDEX,
                   ENERGY, INSPEC
 NEWS 43   Feb 13  CANCERLIT is no longer being updated
 NEWS 44   Feb 24  METADEX enhancements
 NEWS 45   Feb 24  PCTGEN now available on STN
 NEWS 46   Feb 24  TEMA now available on STN
 NEWS 47   Feb 26  NTIS now allows simultaneous left and right truncation
 NEWS 48   Feb 26  PCTFULL now contains images
 NEWS 49   Mar 04  SDI PACKAGE for monthly delivery of multifile SDI results

 NEWS EXPRESS  January 6 CURRENT WINDOWS VERSION IS V6.01a,
               CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN Customer
  agreement.  Please note that this agreement limits use to scientific
  research.  Use for software development or design or implementation
  of commercial gateways or other similar uses is prohibited and may
  result in loss of user privileges and other penalties.

  * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *



FILE 'HOME' ENTERED AT 18:16:42 ON 08 MAR 2003

=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 18:16:48 ON 08 MAR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:     7 MAR 2003  HIGHEST RN 497212-14-3
DICTIONARY FILE UPDATES:    7 MAR 2003  HIGHEST RN 497212-14-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
```

PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10070249c.str

L1 STRUCTURE UPLOADED

=> fil casreact
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 0.40 0.61

FILE 'CASREACT' ENTERED AT 18:17:16 ON 08 MAR 2003
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT

FILE CONTENT:1907 - 2 Mar 2003 VOL 138 ISS 9

Some records from 1974 to 1991 are derived from the ZIC/VINITI data file and provided by InfoChem and some records are produced using some INPI data from the period prior to 1986.

This file contains CAS Registry Numbers for easy and accurate substance identification.

Crossover limits have been increased. See HELP RNCROSSOVER for details.

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 18:17:24 FILE 'CASREACT'
SCREENING COMPLETE - 0 REACTIONS TO VERIFY FROM 0 DOCUMENTS

100.0% DONE 0 VERIFIED 0 HIT RXNS 0 DOCS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED VERIFICATIONS: 0 TO 0
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1 (0 REACTIONS)

=> s l1 full
FULL SEARCH INITIATED 18:17:29 FILE 'CASREACT'
SCREENING COMPLETE - 4 REACTIONS TO VERIFY FROM 1 DOCUMENTS

100.0% DONE 4 VERIFIED 2 HIT RXNS 1 DOCS
SEARCH TIME: 00.00.01

L3 1 SEA SSS FUL L1 (2 REACTIONS)

```
=> d l3 ibib abs hitstr
'HITSTR' IS NOT A VALID FORMAT FOR FILE 'CASREACT'

The following are valid formats:

ABS -------- GI and AB
ALL -------- BIB, AB, IND, RE, Single-step Reactions
APPS ------- AI, PRAI
BIB -------- AN, plus Bibliographic Data
CAN -------- List of CA abstract numbers without answer numbers
CBIB ------- AN, plus Compressed Bibliographic Data
DALL ------- ALL, delimited (end of each field identified)
IABS ------- ABS, indented with text labels
IALL ------- ALL, indented with text labels
IBIB ------- BIB, indented with text labels
IND -------- Indexing data
IPC -------- International Patent Classifications
ISTD ------- STD, indented with text labels
OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

MAX -------- Same as ALL
PATS ------- PI, SO
SCAN ------- TI and FCRD (random display, no answer number.  SCAN
             must be entered on the same line as DISPLAY, e.g.,
             D SCAN.)
SSRX ------- Single-Step Reactions (Map, Diagram, and Summary for
             all single-step reactions)
STD -------- BIB, IPC, and NCL

CRD -------- Compact Display of All Hit Reactions
CRDREF ----- Compact Reaction Display and SO, PY for Reference
FHIT ------- Reaction Map, Diagram, and Summary for first
             hit reaction
FHITCBIB --- FHIT, AN plus CBIB
FCRD ------- First hit in Compact Reaction Display (CRD) format
FCRDREF ---- First hit in Compact Reaction Display (CRD) format with
             CA reference information (SO, PY).  (Default)
FPATH ------ PATH, plus Reaction Summary for the "long path"
FSPATH ----- SPATH, plus Reaction Summary for the "short path"
HIT -------- Reaction Map, Reaction Diagram, and Reaction
             Summary for all hit reactions and fields containing
             hit terms
OCC -------- All hit fields and the number of occurrences of the
             hit terms in each field.  Includes total number of
             HIT, PATH, SPATH reactions. Labels reactions that have
             incomplete verifications.
PATH ------- Reaction Map and Reaction Diagram for the "long
             path".  Displays all hit reactions, except those
             whose steps are totally included within another hit
             reaction which is displayed
RX --------- Hit Reactions (Map, Diagram, Summary for all hit reactions)
RXG -------- Hit Reaction Graphics (Map and Diagram for all hit reactions)
RXL -------- Hit Reaction Long (Map, Diagram, Summary for all hit reactions)
RXS -------- Hit Reaction Summariers (Map and Summary for all hit reactions)
```

SPATH ------ Reaction Map and Reaction Diagram for the "short path". Displays all single step reactions which contain a hit substance. Also displays those multistep reactions that have a hit substance in both the first and last steps of the reaction, except for those hit reactions whose steps are totally included within another hit reaction which is displayed

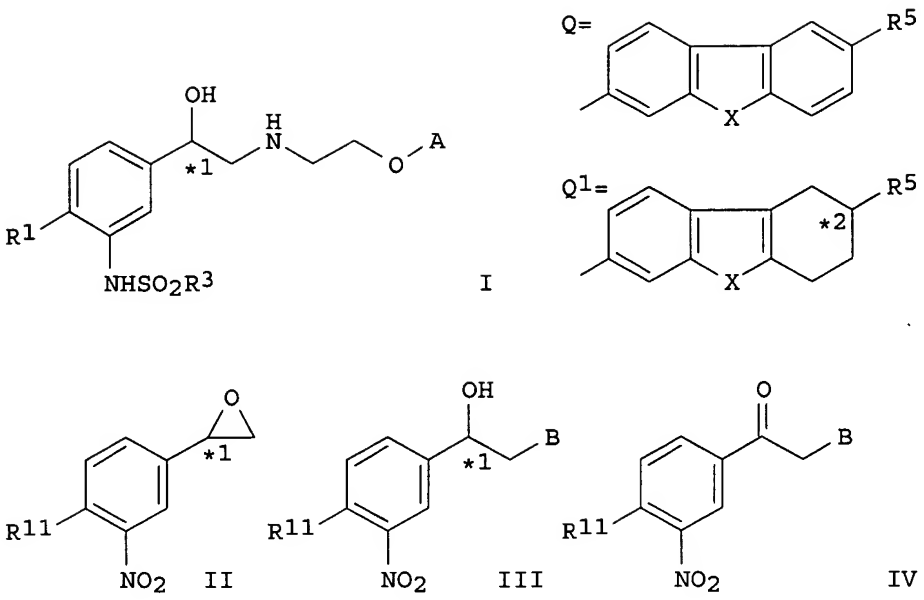
To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of combinations include: D TI; D BIB RX; D TI, AU, FCRD. The information is displayed in the same order as the specification. All of the formats, except CRD, CRDREF, FHIT, PATH, FPATH, SPATH, FSPATH, FCRD, FCRDREF, HIT, RX, RXG, RXS, SCAN, and OCC, may be used with the DISPLAY command to display the record for a specified Accession Number.

ENTER DISPLAY FORMAT (FCRDREF):all

L3 ANSWER 1 OF 1 CASREACT COPYRIGHT 2003 ACS
AN 134:222624 CASREACT
TI Processes for the preparation of tricyclic amino alcohol derivatives
IN Matsubara, Koki; Ishii, Naoyuki; Ogawa, Masami
PA Asahi Kasei Kabushiki Kaisha, Japan
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
IC ICM C07D209-88
ICS C07D303-08; C07D301-26; C07D307-91; C07D333-76; C07C045-63; C07C049-80; C07C205-26; C07M007-00
CC 27-11 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001017962	A1	20010315	WO 2000-JP5561	20000818
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1209150	A1	20020529	EP 2000-953514	20000818
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	BR 2000013717	A	20020702	BR 2000-13717	20000818
	NO 2002001066	A	20020503	NO 2002-1066	20020304

PRAI JP 1999-250848 19990903
JP 2000-30826 20000208
WO 2000-JP5561 20000818
OS MARPAT 134:222624
GI



I

II III IV

AB A process for the prepn. of tricyclic amino alc. derivs. (I; R1 = H, halo, OH; R3 = lower alkyl, CH2Ph; *1 represents an asym. carbon atom.; A = Q, Q1; X = NH, O, S; R5 = H, OH, NH2, acetylamino; when R5 is not H, *2 represents an asym. carbon atom.) are prepd. through intermediates represented by general formula (II, III, and IV) (wherein R11 = H, halo, protected OH; B = Cl, Br; 1* represents an asym. carbon atom.). The intermediates such as 2-Halo-1-(3-nitrophenyl)ethanone derivs. IV and 1-(3-nitrophenyl)oxirane derivs. II are easy of purifn., and particularly optically active II are effective in enhancing the optical purities of the final products. These tricyclic amino alc. derivs. I including 2-[N-[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-[(3-methylsulfonylamino)phenyl]ethanol (V) are useful in the treatment of diabetes, obesity, hyperlipemia and so on (no data). Thus, a soln. of 3'-nitroacetophenone in CH2Cl2/MeOH was treated dropwise with a soln. of SO2Cl2 in CH2Cl2 over a period of 1 h and stirred at room temp. for 1 h to give 3'-nitro-2-chloroacetophenone which was reduced by HCO2H/Et3N (5:2 complex) in the presence of chloro[(S,S)-N-methanesulfonyl-1,2-diphenylethylenediamine] (p-cymene)ruthenium complex in 2-propanol at room temp. for 22 h to give (R)-1-(3-nitrophenyl)-2-chloroethanol. A soln. of the latter compd. in 2-propanol was treated dropwise with 2 N aq. NaOH over a period of 20 min and stirred at room temp. for 30 min to give (2R)-2-(3-nitrophenyl)oxirane which was heated with 2-(2-benzylaminoethoxy)carbazole in 2-butanol at 95.degree. under stirring for 8 h to give (R)-1-(3-nitrophenyl)-2-[N-[2-(carbazol-2-yloxy)ethyl]-benzylamino]ethanol. The latter compd. was hydrogenated over platinum oxide in MeOH under normal pressure hydrogen atm. at room temp. for 4 h to give (R)-1-(3-aminophenyl)-2-[N-[2-(carbazol-2-yloxy)ethyl]-benzylamino]ethanol. A soln. of the latter compd. in THF was treated with pyridine, cooled to 0.degree., treated dropwise with MeSO2Cl over a period of 15 min, and stirred at 0.degree. for 4 h to give (R)-1-(3-(methanesulfonylamino)phenyl)-2-[N-[2-(carbazol-2-yloxy)ethyl]-benzylamino]ethanol which was dissolved in ethanol and hydrogenated over 10% Pd-C under normal pressure hydrogen atm. at 70.degree. for 4 h to give

(R)-V.

ST tricyclic amino alc prepn treatment diabetes obesity hyperlipemia; phenylcarbazolyloxyethylaminoethanol prepn antidiabetic antiobesity hypolipidemia; aminoethanol phenyl carbazolyloxyethyl prepn antidiabetic antiobesity hypolipidemic; halonitrophenylethanone nitrophenyloxirane prepn intermediate phenylcarbazolyloxyethylaminoethanol

IT Chlorination
(prepn. of tricyclic amino alc. derivs. via chlorination of acetophenone deriv.)

IT Antidiabetic agents
Antiobesity agents
Hypolipemic agents
(processes for prepn. of tricyclic amino alc. derivs. as antidiabetic, antiobesity, and hypolipidemic agents via addn. reaction of phenyloxirane deriv. with carbazolyloxyethylamine deriv.)

IT Reduction catalysts
(stereoselective, chloro[(S,S)-N-(methane or arenesulfonyl)diphenylethylenediamine] (p-cymene)ruthenium complexes; prepn. of tricyclic amino alc. derivs. via stereoselective redn. of .alpha.-chloroacetophenones to chlorophenylethanols)

IT Addition reaction
(stereoselective; prepn. of tricyclic amino alc. derivs. via addn. reaction of phenyloxirane deriv. with carbazolyloxyethylamine deriv.)

IT Reduction
(stereoselective; prepn. of tricyclic amino alc. derivs. via stereoselective redn. of .alpha.-chloroacetophenones to chlorophenylethanols)

IT 192139-90-5 329371-21-3 329371-22-4 329371-23-5 329371-24-6 329371-25-7
RL: CAT (Catalyst use); USES (Uses)
(processes for prepn. of tricyclic amino alc. derivs. as antidiabetic, antiobesity, and hypolipidemic agents via addn. reaction of phenyloxirane deriv. with carbazolyloxyethylamine deriv.)

IT 86-79-3, 2-Hydroxycarbazole 99-90-1, 4'-Bromoacetophenone 100-39-0, Benzyl bromide 100-46-9, Benzylamine, reactions 106-51-4, 1,4-Benzoquinone, reactions 106-93-4, 1,2-Dibromoethane 121-89-1, 3'-Nitroacetophenone 124-63-0, Methanesulfonyl chloride 532-27-4, 2-Chloroacetophenone 5465-65-6, 4'-Chloro-3'-nitroacetophenone
RL: RCT (Reactant); RACT (Reactant or reagent)
(processes for prepn. of tricyclic amino alc. derivs. as antidiabetic, antiobesity, and hypolipidemic agents via addn. reaction of phenyloxirane deriv. with carbazolyloxyethylamine deriv.)

IT 96-96-8P, 2-Nitro-4-methoxyaniline 99-47-8P 13425-36-0P 20697-05-6P 71385-92-7P 93914-89-7P 100727-21-7P, 2-Methoxy-6-hydroxycarbazole 129215-12-9P 193759-97-6P 296237-87-1P 296237-88-2P, 2-(2-Bromoethoxy)carbazole 296237-92-8P 296237-93-9P 296238-45-4P, 2-Methoxy-6-benzyloxycarbazole 296238-46-5P, 2-Hydroxy-6-benzyloxycarbazole 329348-23-4P 329348-24-5P 329348-25-6P 329348-26-7P 329348-27-8P 329348-30-3P 329348-31-4P 329348-32-5P 329348-33-6P 329348-34-7P 329348-35-8P 329348-36-9P 329348-37-0P 329348-38-1P 329348-40-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(processes for prepn. of tricyclic amino alc. derivs. as antidiabetic, antiobesity, and hypolipidemic agents via addn. reaction of phenyloxirane deriv. with carbazolyloxyethylamine deriv.)

IT 4209-02-3P, 4'-Bromo-2-chloroacetophenone
RL: SPN (Synthetic preparation); PREP (Preparation)
(processes for prepn. of tricyclic amino alc. derivs. as antidiabetic,

antiobesity, and hypolipidemic agents via addn. reaction of phenyloxirane deriv. with carbazolyloxyethylamine deriv.)

IT 193759-98-7P 268727-76-0P 296238-44-3P 296238-51-2P 329348-39-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(processes for prepn. of tricyclic amino alc. derivs. as antidiabetic, antiobesity, and hypolipidemic agents via addn. reaction of phenyloxirane deriv. with carbazolyloxyethylamine deriv.)

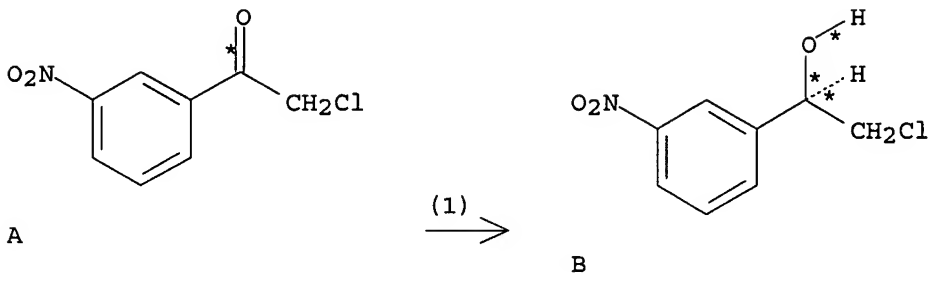
RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Anon; CHEMICAL ABSTRACTS V54
(2) Asahi Kasei Kogyo Kabusiki Kaisha; JP 09249623 A CAPLUS
(3) Asahi Kasei Kogyo Kabusiki Kaisha; CN 1209119 A CAPLUS
(4) Asahi Kasei Kogyo Kabusiki Kaisha; JP 2000239255 A CAPLUS
(5) Asahi Kasei Kogyo Kabusiki Kaisha; CA 2242351 A CAPLUS
(6) Asahi Kasei Kogyo Kabusiki Kaisha; US 6037362 A CAPLUS
(7) Asahi Kasei Kogyo Kabusiki Kaisha; EP 882707 A1 CAPLUS
(8) Asahi Kasei Kogyo Kabusiki Kaisha; AU 9711708 A CAPLUS
(9) Asahi Kasei Kogyo Kabusiki Kaisha; NO 9803197 A CAPLUS
(10) Asahi Kasei Kogyo Kabusiki Kaisha; AU 9880334 A CAPLUS
(11) Asahi Kasei Kogyo Kabusiki Kaisha; NO 996453 A
(12) Asahi Kasei Kogyo Kabusiki Kaisha; EP 997458 A1 CAPLUS
(13) Asahi Kasei Kogyo Kabusiki Kaisha; WO 9725311 A1 1997 CAPLUS
(14) Asahi Kasei Kogyo Kabusiki Kaisha; WO 9901431 A1 1999 CAPLUS
(15) Asahi Kasei Kogyo Kabusiki Kaisha; WO 0035890 A1 2000 CAPLUS
(16) Asahi Kasei Kogyo Kabusiki Kaisha; WO 0058287 A1 2000 CAPLUS
(17) Basf A -G; JP 08277240 A CAPLUS
(18) Basf A -G; CN 1142483 A CAPLUS
(19) Basf A -G; DE 19511861 A CAPLUS
(20) Basf A -G; CA 2172014 A CAPLUS
(21) Basf A -G; US 5710341 A CAPLUS
(22) Basf A -G; EP 73516 A1 1996 CAPLUS
(23) Ciba-Geigy A -G; CA 1146575 A CAPLUS
(24) Ciba-Geigy A -G; DD 202692 A CAPLUS
(25) Ciba-Geigy A -G; GB 2065645 A CAPLUS
(26) Ciba-Geigy A -G; ES 497444 A CAPLUS
(27) Ciba-Geigy A -G; ES 507980 A CAPLUS
(28) Ciba-Geigy A -G; ES 507981 A CAPLUS
(29) Ciba-Geigy A -G; ES 507982 A CAPLUS
(30) Ciba-Geigy A -G; ES 507983 A CAPLUS
(31) Ciba-Geigy A -G; JP 5692844 A
(32) Ciba-Geigy A -G; NO 8003653 A CAPLUS
(33) Ciba-Geigy A -G; FI 8003732 A CAPLUS
(34) Ciba-Geigy A -G; DK 8005164 A CAPLUS
(35) Ciba-Geigy A -G; ZA 8007545 A CAPLUS
(36) Ciba-Geigy A -G; AU 8065033 A CAPLUS
(37) Ciba-Geigy A -G; EP 30030 A1 1981 CAPLUS
(38) Laboratoire L Lafon; FR 2515177 A 1983 CAPLUS
(39) Merk And Co Inc; JP 04217960 A CAPLUS
(40) Merk And Co Inc; CN 1053613 A CAPLUS
(41) Merk And Co Inc; CN 1110685 A CAPLUS
(42) Merk And Co Inc; CA 2031633 A CAPLUS
(43) Merk And Co Inc; US 5206240 A CAPLUS
(44) Merk And Co Inc; US 5633247 A CAPLUS
(45) Merk And Co Inc; NO 9005306 A CAPLUS
(46) Merk And Co Inc; FI 9006045 A CAPLUS
(47) Merk And Co Inc; ZA 9009836 A CAPLUS
(48) Merk And Co Inc; AU 9067873 A CAPLUS
(49) Merk And Co Inc; AU 9463297 A CAPLUS

(50) Merk And Co Inc; EP 431943 A2 1991 CAPLUS
(51) Pasaribu, S; Aust J Chem 1975, V28(5), P1023 CAPLUS

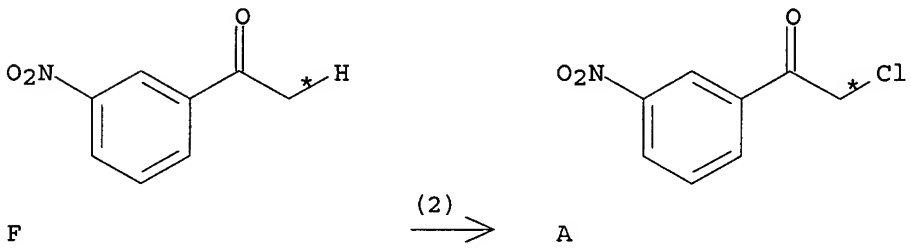
RX(1) OF 28 ...A ===> B...



A B

RX(1) RCT A 99-47-8
RGT C 15077-13-1 Formic acid, compd. with N,N-diethylethanamine (5:2)
PRO B 329348-23-4
CAT 329371-25-7 Ruthenium, [N-[(1S,2S)-2-(amino-.kappa.N)-1,2-diphenylethyl]methanesulfonamidato-.kappa.N]chloro[(1,2,3,4,5,6-.eta.)-1-methyl-4-(1-methylethyl)benzene]-
SOL 67-63-0 Me2CHOH
NTE stereoselective

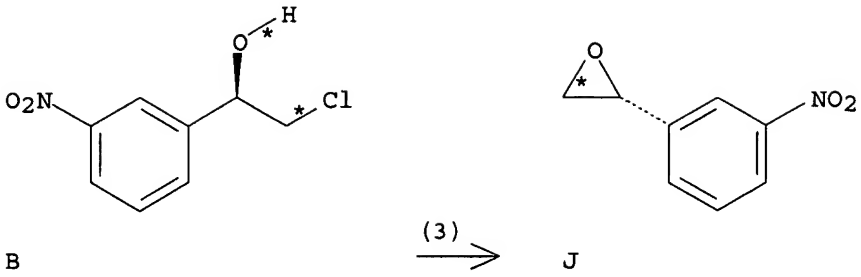
RX(2) OF 28 F ===> A...



F A

RX(2) RCT F 121-89-1
RGT G 7791-25-5 SO2Cl2
PRO A 99-47-8
SOL 75-09-2 CH2Cl2, 67-56-1 MeOH

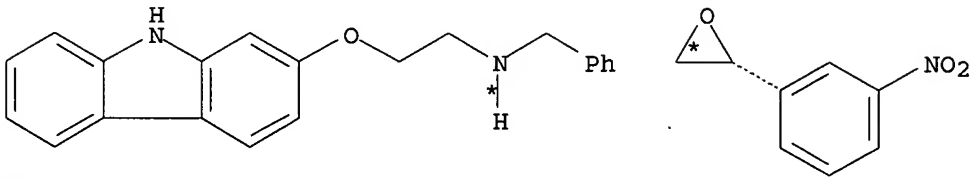
RX(3) OF 28 ...B ===> J...



B (3) J

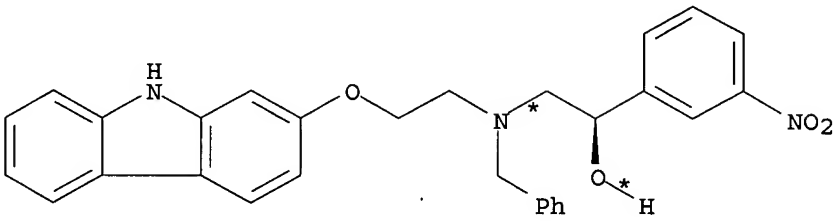
RX(3) RCT B 329348-23-4
RGT K 1310-73-2 NaOH
PRO J 129215-12-9
SOL 7732-18-5 Water, 67-63-0 Me2CHOH

RX(4) OF 28 ...M + J ===> N...



M J

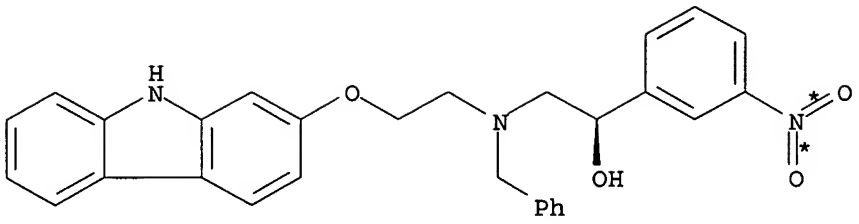
(4)



N

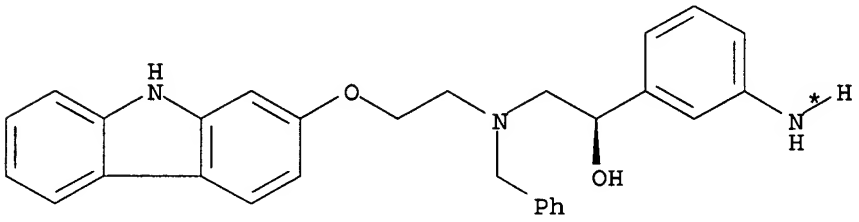
RX(4) RCT M 296237-87-1, J 129215-12-9
PRO N 329348-24-5
SOL 67-63-0 Me2CHOH
NTE stereoselective

RX(5) OF 28 ...N ===> O...



N

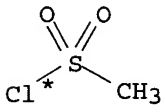
(5)



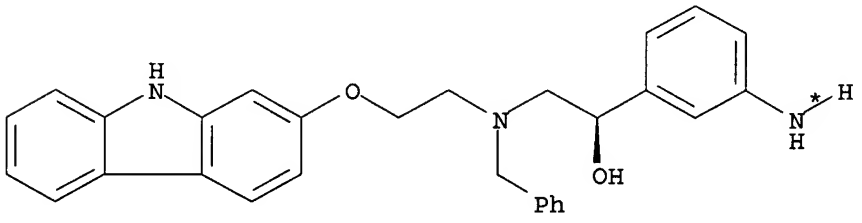
O

RX(5) RCT N 329348-24-5
RGT P 1333-74-0 H2
PRO O 329348-25-6
CAT 1314-15-4 PtO2
SOL 67-56-1 MeOH

RX(6) OF 28 ...R + O ===> S...

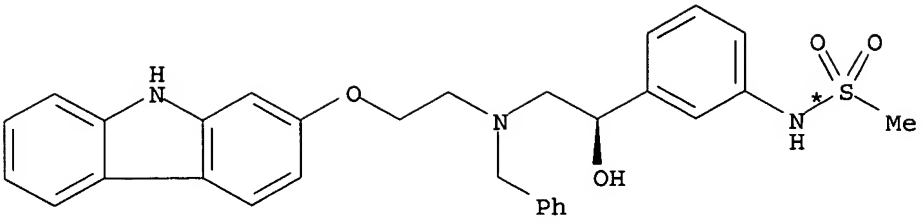


R



O

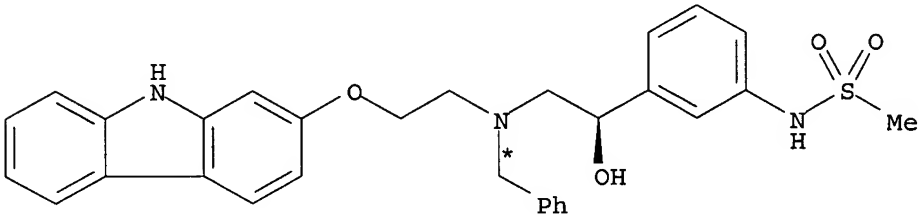
(6)



S

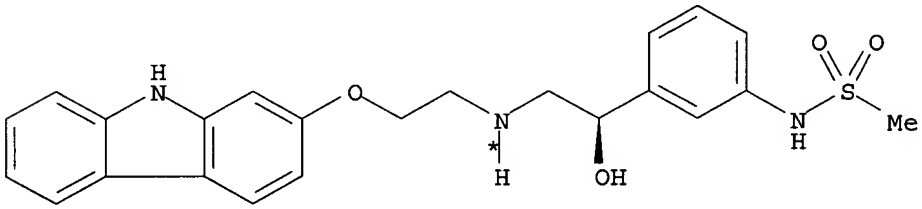
RX(6) RCT R 124-63-0, O 329348-25-6
RGT T 110-86-1 Pyridine
PRO S 296237-93-9
SOL 109-99-9 THF

RX(7) OF 28 ...S ===> V



S

(7)



V

RX(7) RCT S 296237-93-9
RGT P 1333-74-0 H2
PRO V 268727-76-0
CAT 7440-05-3 Pd
SOL 64-17-5 EtOH

10070249

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1613sxw

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4	Apr 09	ZDB will be removed from STN
NEWS 5	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 9	Jun 03	New e-mail delivery for search results now available
NEWS 10	Jun 10	MEDLINE Reload
NEWS 11	Jun 10	PCTFULL has been reloaded
NEWS 12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS 13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS 14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS 15	Jul 30	NETFIRST to be removed from STN
NEWS 16	Aug 08	CANCERLIT reload
NEWS 17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18	Aug 08	NTIS has been reloaded and enhanced
NEWS 19	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 20	Aug 19	IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 21	Aug 19	The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 23	Sep 03	JAPIO has been reloaded and enhanced
NEWS 24	Sep 16	Experimental properties added to the REGISTRY file
NEWS 25	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 26	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 27	Oct 21	EVENTLINE has been reloaded
NEWS 28	Oct 24	BEILSTEIN adds new search fields
NEWS 29	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 30	Oct 25	MEDLINE SDI run of October 8, 2002
NEWS 31	Nov 18	DKILIT has been renamed APOLLIT
NEWS 32	Nov 25	More calculated properties added to REGISTRY
NEWS 33	Dec 02	TIBKAT will be removed from STN
NEWS 34	Dec 04	CSA files on STN
NEWS 35	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 36	Dec 17	TOXCENTER enhanced with additional content
NEWS 37	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 38	Dec 30	ISMEC no longer available
NEWS 39	Jan 13	Indexing added to some pre-1967 records in CA/CAPLUS
NEWS 40	Jan 21	NUTRACEUT offering one free connect hour in February 2003
NEWS 41	Jan 21	PHARMAML offering one free connect hour in February 2003

10070249

```
 NEWS 42  Jan 29  Simultaneous left and right truncation added to COMPENDEX,
                  ENERGY, INSPEC
 NEWS 43  Feb 13  CANCERLIT is no longer being updated
 NEWS 44  Feb 24  METADEX enhancements
 NEWS 45  Feb 24  PCTGEN now available on STN
 NEWS 46  Feb 24  TEMA now available on STN
 NEWS 47  Feb 26  NTIS now allows simultaneous left and right truncation
 NEWS 48  Feb 26  PCTFULL now contains images
 NEWS 49  Mar 04  SDI PACKAGE for monthly delivery of multifile SDI results

 NEWS EXPRESS  January 6 CURRENT WINDOWS VERSION IS V6.01a,
               CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN Customer
  agreement.  Please note that this agreement limits use to scientific
  research.  Use for software development or design or implementation
  of commercial gateways or other similar uses is prohibited and may
  result in loss of user privileges and other penalties.

  * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *



FILE 'HOME' ENTERED AT 14:00:22 ON 08 MAR 2003

=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 14:00:30 ON 08 MAR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    7 MAR 2003  HIGHEST RN 497212-14-3
DICTIONARY FILE UPDATES:   7 MAR 2003  HIGHEST RN 497212-14-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
```

PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10070249b.str

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
MULTIPLE ROLE QUERIES ARE NOT ALLOWED IN A NON-REACTION FILE

=> s casreact
L2 0 CASREACT

=> fil casreact

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	5.42	5.63

FILE 'CASREACT' ENTERED AT 14:02:06 ON 08 MAR 2003
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT

FILE CONTENT:1907 - 2 Mar 2003 VOL 138 ISS 9

Some records from 1974 to 1991 are derived from the ZIC/VINITI data file and provided by InfoChem and some records are produced using some INPI data from the period prior to 1986.

This file contains CAS Registry Numbers for easy and accurate substance identification.

Crossover limits have been increased. See HELP RNCROSSOVER for details.

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 14:02:14 FILE 'CASREACT'
SCREENING COMPLETE - 0 REACTIONS TO VERIFY FROM 0 DOCUMENTS

100.0% DONE 0 VERIFIED 0 HIT RXNS 0 DOCS
SEARCH TIME: 00.00.01

10070249

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED VERIFICATIONS: 0 TO 0
PROJECTED ANSWERS: 0 TO 0

L3 0 SEA SSS SAM L1 (0 REACTIONS)

=> s l1 full
FULL SEARCH INITIATED 14:02:23 FILE 'CASREACT'
SCREENING COMPLETE - 4 REACTIONS TO VERIFY FROM 1 DOCUMENTS

100.0% DONE 4 VERIFIED 2 HIT RXNS 1 DOCS
SEARCH TIME: 00.00.01

L4 1 SEA SSS FUL L1 (2 REACTIONS)

=> d l4 ibib abs hitstr
'HITSTR' IS NOT A VALID FORMAT FOR FILE 'CASREACT'

The following are valid formats:

ABS -------- GI and AB
ALL -------- BIB, AB, IND, RE, Single-step Reactions
APPS ------- AI, PRAI
BIB -------- AN, plus Bibliographic Data
CAN -------- List of CA abstract numbers without answer numbers
CBIB ------- AN, plus Compressed Bibliographic Data
DALL ------- ALL, delimited (end of each field identified)
IABS ------- ABS, indented with text labels
IALL ------- ALL, indented with text labels
IBIB ------- BIB, indented with text labels
IND -------- Indexing data
IPC -------- International Patent Classifications
ISTD ------- STD, indented with text labels
OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

MAX -------- Same as ALL
PATS ------- PI, SO
SCAN ------- TI and FCRD (random display, no answer number. SCAN must be entered on the same line as DISPLAY, e.g., D SCAN.)
SSRX ------- Single-Step Reactions (Map, Diagram, and Summary for all single-step reactions)
STD -------- BIB, IPC, and NCL

CRD -------- Compact Display of All Hit Reactions
CRDREF ----- Compact Reaction Display and SO, PY for Reference
FHIT ------- Reaction Map, Diagram, and Summary for first hit reaction
FHITCBIB --- FHIT, AN plus CBIB
FCRD ------- First hit in Compact Reaction Display (CRD) format
FCRDREF ---- First hit in Compact Reaction Display (CRD) format with CA reference information (SO, PY). (Default)
FPATH ------ PATH, plus Reaction Summary for the "long path"
FSPATH ----- SPATH, plus Reaction Summary for the "short path"

HIT -------- Reaction Map, Reaction Diagram, and Reaction Summary for all hit reactions and fields containing hit terms
OCC -------- All hit fields and the number of occurrences of the hit terms in each field. Includes total number of HIT, PATH, SPATH reactions. Labels reactions that have incomplete verifications.
PATH ------- Reaction Map and Reaction Diagram for the "long path". Displays all hit reactions, except those whose steps are totally included within another hit reaction which is displayed
RX --------- Hit Reactions (Map, Diagram, Summary for all hit reactions)
RXG -------- Hit Reaction Graphics (Map and Diagram for all hit reactions)
RXL -------- Hit Reaction Long (Map, Diagram, Summary for all hit reactions)
RXS -------- Hit Reaction Summariers (Map and Summary for all hit reactions)
SPATH ------ Reaction Map and Reaction Diagram for the "short path". Displays all single step reactions which contain a hit substance. Also displays those multistep reactions that have a hit substance in both the first and last steps of the reaction, except for those hit reactions whose steps are totally included within another hit reaction which is displayed

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of combinations include: D TI; D BIB RX; D TI, AU, FCRD. The information is displayed in the same order as the specification. All of the formats, except CRD, CRDREF, FHIT, PATH, FPATH, SPATH, FSPATH, FCRD, FCRDREF, HIT, RX, RXG, RXS, SCAN, and OCC, may be used with the DISPLAY command to display the record for a specified Accession Number.

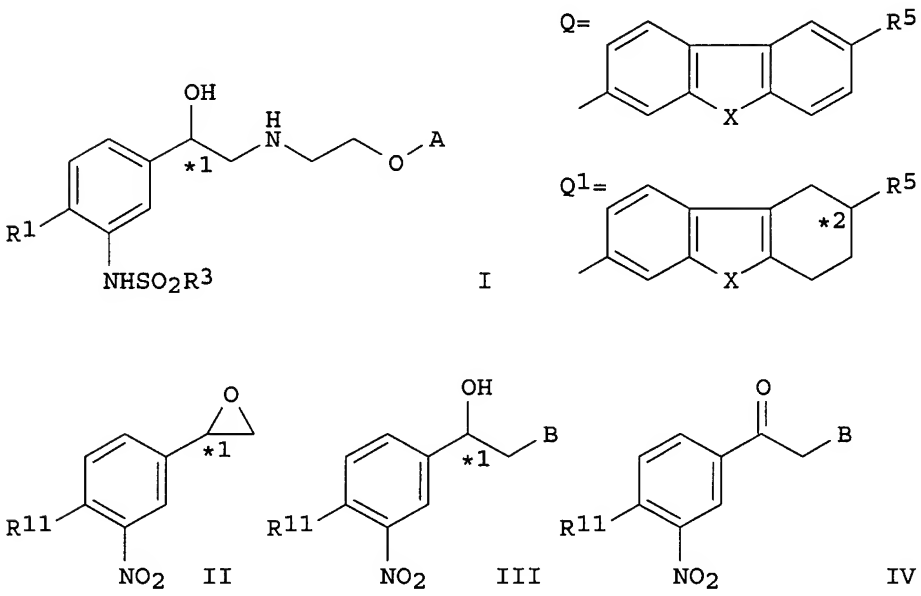
ENTER DISPLAY FORMAT (FCRDREF):all

L4 ANSWER 1 OF 1 CASREACT COPYRIGHT 2003 ACS
AN 134:222624 CASREACT
TI Processes for the preparation of tricyclic amino alcohol derivatives
IN Matsubara, Koki; Ishii, Naoyuki; Ogawa, Masami
PA Asahi Kasei Kabushiki Kaisha, Japan
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
IC ICM C07D209-88
ICS C07D303-08; C07D301-26; C07D307-91; C07D333-76; C07C045-63; C07C049-80; C07C205-26; C07M007-00
CC 27-11 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001017962	A1	20010315	WO 2000-JP5561	20000818

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1209150 A1 20020529 EP 2000-953514 20000818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL
BR 2000013717 A 20020702 BR 2000-13717 20000818
NO 2002001066 A 20020503 NO 2002-1066 20020304
PRAI JP 1999-250848 19990903
JP 2000-30826 20000208
WO 2000-JP5561 20000818
OS MARPAT 134:222624
GI



AB A process for the prepn. of tricyclic amino alc. derivs. (I; R1 = H, halo, OH; R3 = lower alkyl, CH2Ph; *1 represents an asym. carbon atom.; A = Q, Q1; X = NH, O, S; R5 = H, OH, NH2, acetylamino; when R5 is not H, *2 represents an asym. carbon atom.) are prepd. through intermediates represented by general formula (II, III, and IV) (wherein R11 = H, halo, protected OH; B = Cl, Br; 1* represents an asym. carbon atom.). The intermediates such as 2-Halo-1-(3-nitrophenyl)ethanone derivs. IV and 1-(3-nitrophenyl)oxirane derivs. II are easy of purifn., and particularly optically active II are effective in enhancing the optical purities of the final products. These tricyclic amino alc. derivs. I including 2-[N-[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-[(3-methylsulfonylamino)phenyl]ethanol (V) are useful in the treatment of diabetes, obesity, hyperlipemia and so on (no data). Thus, a soln. of 3'-nitroacetophenone in CH2Cl2/MeOH was treated dropwise with a soln. of SO2Cl2 in CH2Cl2 over a period of 1 h and stirred at room temp. for 1 h to give 3'-nitro-2-chloroacetophenone which was reduced by HCO2H/Et3N (5:2 complex) in the presence of chloro[(S,S)-N-methanesulfonyl-1,2-diphenylethylenediamine] (p-cymene)ruthenium complex in 2-propanol at room

temp. for 22 h to give (R)-1-(3-nitrophenyl)-2-chloroethanol. A soln. of the latter compd. in 2-propanol was treated dropwise with 2 N aq. NaOH over a period of 20 min and stirred at room temp. for 30 min to give (2R)-2-(3-nitrophenyl)oxirane which was heated with 2-(2-benzylaminoethoxy)carbazole in 2-butanol at 95.degree. under stirring for 8 h to give (R)-1-(3-nitrophenyl)-2-[N-[2-(carbazol-2-yloxy)ethyl]-benzylamino]ethanol. The latter compd. was hydrogenated over platinum oxide in MeOH under normal pressure hydrogen atm. at room temp. for 4 h to give (R)-1-(3-aminophenyl)-2-[N-[2-(carbazol-2-yloxy)ethyl]-benzylamino]ethanol. A soln. of the latter compd. in THF was treated with pyridine, cooled to 0.degree., treated dropwise with MeSO2Cl over a period of 15 min, and stirred at 0.degree. for 4 h to give (R)-1-(3-(methanesulfonylamino)phenyl)-2-[N-[2-(carbazol-2-yloxy)ethyl]-benzylamino]ethanol which was dissolved in ethanol and hydrogenated over 10% Pd-C under normal pressure hydrogen atm. at 70.degree. for 4 h to give (R)-V.

ST tricyclic amino alc prepn treatment diabetes obesity hyperlipemia; phenylcarbazolyloxyethylaminoethanol prepn antidiabetic antiobesity hypolipidemia; aminoethanol phenyl carbazolyloxyethyl prepn antidiabetic antiobesity hypolipidemic; halonitrophenylethanone nitrophenyloxirane prepn intermediate phenylcarbazolyloxyethylaminoethanol

IT Chlorination
(prepn. of tricyclic amino alc. derivs. via chlorination of acetophenone deriv.)

IT Antidiabetic agents
Antiobesity agents
Hypolipemic agents
(processes for prepn. of tricyclic amino alc. derivs. as antidiabetic, antiobesity, and hypolipidemic agents via addn. reaction of phenyloxirane deriv. with carbazolyloxyethylamine deriv.)

IT Reduction catalysts
(stereoselective, chloro[(S,S)-N-(methane or arenesulfonyl)diphenylethylenediamine] (p-cymene)ruthenium complexes; prepn. of tricyclic amino alc. derivs. via stereoselective redn. of .alpha.-chloroacetophenones to chlorophenylethanols)

IT Addition reaction
(stereoselective; prepn. of tricyclic amino alc. derivs. via addn. reaction of phenyloxirane deriv. with carbazolyloxyethylamine deriv.)

IT Reduction
(stereoselective; prepn. of tricyclic amino alc. derivs. via stereoselective redn. of .alpha.-chloroacetophenones to chlorophenylethanols)

IT 192139-90-5 329371-21-3 329371-22-4 329371-23-5 329371-24-6 329371-25-7
RL: CAT (Catalyst use); USES (Uses)
(processes for prepn. of tricyclic amino alc. derivs. as antidiabetic, antiobesity, and hypolipidemic agents via addn. reaction of phenyloxirane deriv. with carbazolyloxyethylamine deriv.)

IT 86-79-3, 2-Hydroxycarbazole 99-90-1, 4'-Bromoacetophenone 100-39-0, Benzyl bromide 100-46-9, Benzylamine, reactions 106-51-4, 1,4-Benzoquinone, reactions 106-93-4, 1,2-Dibromoethane 121-89-1, 3'-Nitroacetophenone 124-63-0, Methanesulfonyl chloride 532-27-4, 2-Chloroacetophenone 5465-65-6, 4'-Chloro-3'-nitroacetophenone
RL: RCT (Reactant); RACT (Reactant or reagent)
(processes for prepn. of tricyclic amino alc. derivs. as antidiabetic, antiobesity, and hypolipidemic agents via addn. reaction of phenyloxirane deriv. with carbazolyloxyethylamine deriv.)

IT 96-96-8P, 2-Nitro-4-methoxyaniline 99-47-8P 13425-36-0P 20697-05-6P 71385-92-7P 93914-89-7P 100727-21-7P, 2-Methoxy-6-hydroxycarbazole

129215-12-9P 193759-97-6P 296237-87-1P 296237-88-2P, 2-(2-Bromoethoxy)carbazole 296237-92-8P 296237-93-9P 296238-45-4P, 2-Methoxy-6-benzyloxycarbazole 296238-46-5P, 2-Hydroxy-6-benzyloxycarbazole 329348-23-4P 329348-24-5P 329348-25-6P 329348-26-7P 329348-27-8P 329348-30-3P 329348-31-4P 329348-32-5P 329348-33-6P 329348-34-7P 329348-35-8P 329348-36-9P 329348-37-0P 329348-38-1P 329348-40-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(processes for prepn. of tricyclic amino alc. derivs. as antidiabetic, antiobesity, and hypolipidemic agents via addn. reaction of phenyloxirane deriv. with carbazolyloxyethylamine deriv.)

IT 4209-02-3P, 4'-Bromo-2-chloroacetophenone
RL: SPN (Synthetic preparation); PREP (Preparation)
(processes for prepn. of tricyclic amino alc. derivs. as antidiabetic, antiobesity, and hypolipidemic agents via addn. reaction of phenyloxirane deriv. with carbazolyloxyethylamine deriv.)

IT 193759-98-7P 268727-76-0P 296238-44-3P 296238-51-2P 329348-39-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(processes for prepn. of tricyclic amino alc. derivs. as antidiabetic, antiobesity, and hypolipidemic agents via addn. reaction of phenyloxirane deriv. with carbazolyloxyethylamine deriv.)

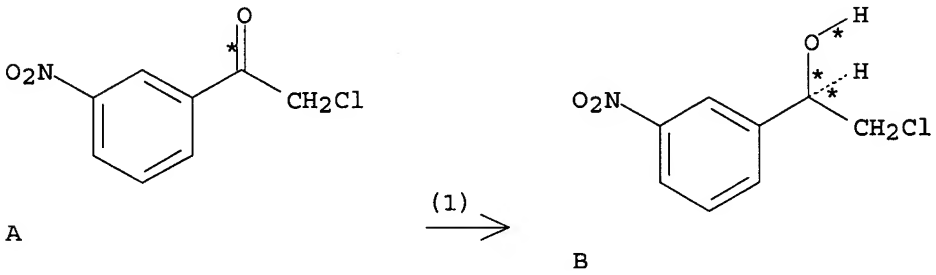
RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Anon; CHEMICAL ABSTRACTS V54
(2) Asahi Kasei Kogyo Kabusiki Kaisha; JP 09249623 A CAPLUS
(3) Asahi Kasei Kogyo Kabusiki Kaisha; CN 1209119 A CAPLUS
(4) Asahi Kasei Kogyo Kabusiki Kaisha; JP 2000239255 A CAPLUS
(5) Asahi Kasei Kogyo Kabusiki Kaisha; CA 2242351 A CAPLUS
(6) Asahi Kasei Kogyo Kabusiki Kaisha; US 6037362 A CAPLUS
(7) Asahi Kasei Kogyo Kabusiki Kaisha; EP 882707 A1 CAPLUS
(8) Asahi Kasei Kogyo Kabusiki Kaisha; AU 9711708 A CAPLUS
(9) Asahi Kasei Kogyo Kabusiki Kaisha; NO 9803197 A CAPLUS
(10) Asahi Kasei Kogyo Kabusiki Kaisha; AU 9880334 A CAPLUS
(11) Asahi Kasei Kogyo Kabusiki Kaisha; NO 996453 A
(12) Asahi Kasei Kogyo Kabusiki Kaisha; EP 997458 A1 CAPLUS
(13) Asahi Kasei Kogyo Kabusiki Kaisha; WO 9725311 A1 1997 CAPLUS
(14) Asahi Kasei Kogyo Kabusiki Kaisha; WO 9901431 A1 1999 CAPLUS
(15) Asahi Kasei Kogyo Kabusiki Kaisha; WO 0035890 A1 2000 CAPLUS
(16) Asahi Kasei Kogyo Kabusiki Kaisha; WO 0058287 A1 2000 CAPLUS
(17) Basf A -G; JP 08277240 A CAPLUS
(18) Basf A -G; CN 1142483 A CAPLUS
(19) Basf A -G; DE 19511861 A CAPLUS
(20) Basf A -G; CA 2172014 A CAPLUS
(21) Basf A -G; US 5710341 A CAPLUS
(22) Basf A -G; EP 73516 A1 1996 CAPLUS
(23) Ciba-Geigy A -G; CA 1146575 A CAPLUS
(24) Ciba-Geigy A -G; DD 202692 A CAPLUS
(25) Ciba-Geigy A -G; GB 2065645 A CAPLUS
(26) Ciba-Geigy A -G; ES 497444 A CAPLUS
(27) Ciba-Geigy A -G; ES 507980 A CAPLUS
(28) Ciba-Geigy A -G; ES 507981 A CAPLUS
(29) Ciba-Geigy A -G; ES 507982 A CAPLUS
(30) Ciba-Geigy A -G; ES 507983 A CAPLUS
(31) Ciba-Geigy A -G; JP 5692844 A
(32) Ciba-Geigy A -G; NO 8003653 A CAPLUS
(33) Ciba-Geigy A -G; FI 8003732 A CAPLUS
(34) Ciba-Geigy A -G; DK 8005164 A CAPLUS

(35) Ciba-Geigy A -G; ZA 8007545 A CAPLUS
(36) Ciba-Geigy A -G; AU 8065033 A CAPLUS
(37) Ciba-Geigy A -G; EP 30030 A1 1981 CAPLUS
(38) Laboratoire L Lafon; FR 2515177 A 1983 CAPLUS
(39) Merk And Co Inc; JP 04217960 A CAPLUS
(40) Merk And Co Inc; CN 1053613 A CAPLUS
(41) Merk And Co Inc; CN 1110685 A CAPLUS
(42) Merk And Co Inc; CA 2031633 A CAPLUS
(43) Merk And Co Inc; US 5206240 A CAPLUS
(44) Merk And Co Inc; US 5633247 A CAPLUS
(45) Merk And Co Inc; NO 9005306 A CAPLUS
(46) Merk And Co Inc; FI 9006045 A CAPLUS
(47) Merk And Co Inc; ZA 9009836 A CAPLUS
(48) Merk And Co Inc; AU 9067873 A CAPLUS
(49) Merk And Co Inc; AU 9463297 A CAPLUS
(50) Merk And Co Inc; EP 431943 A2 1991 CAPLUS
(51) Pasaribu, S; Aust J Chem 1975, V28(5), P1023 CAPLUS

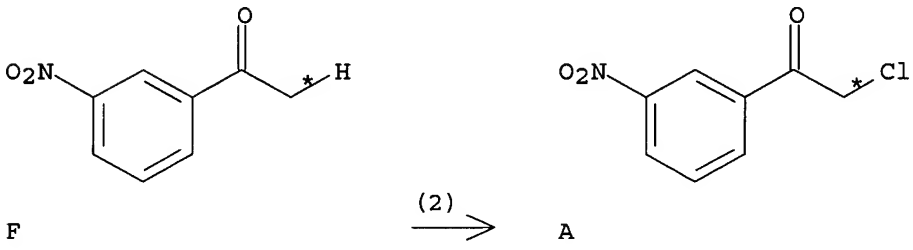
RX(1) OF 28 ...A ===> B...



A B

RX(1) RCT A 99-47-8
RGT C 15077-13-1 Formic acid, compd. with N,N-diethylethanamine (5:2)
PRO B 329348-23-4
CAT 329371-25-7 Ruthenium, [N-[(1S,2S)-2-(amino-.kappa.N)-1,2-diphenylethyl]methanesulfonamidato-.kappa.N]chloro[(1,2,3,4,5,6-.eta.)-1-methyl-4-(1-methylethyl)benzene]-
SOL 67-63-0 Me2CHOH
NTE stereoselective

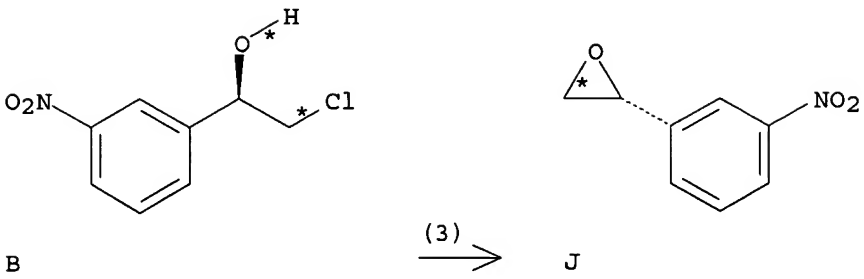
RX(2) OF 28 F ===> A...



F A

RX(2) RCT F 121-89-1
RGT G 7791-25-5 SO2Cl2
PRO A 99-47-8
SOL 75-09-2 CH2Cl2, 67-56-1 MeOH

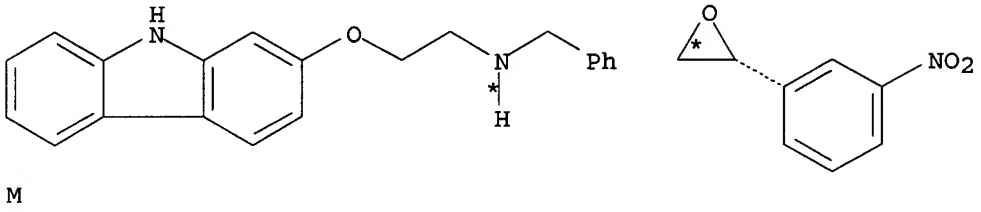
RX(3) OF 28 ...B ===> J...



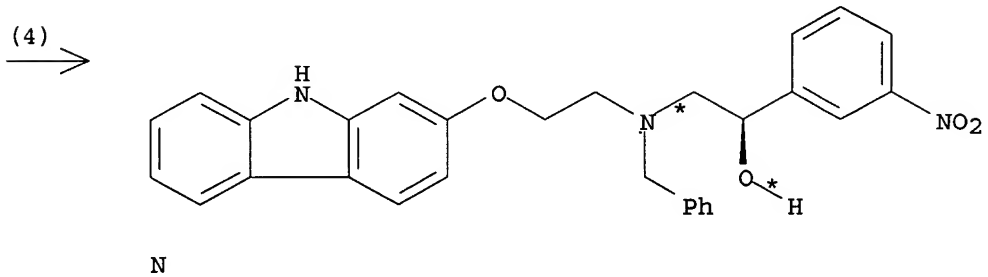
B J

RX(3) RCT B 329348-23-4
RGT K 1310-73-2 NaOH
PRO J 129215-12-9
SOL 7732-18-5 Water, 67-63-0 Me2CHOH

RX(4) OF 28 ...M + J ===> N...



M J

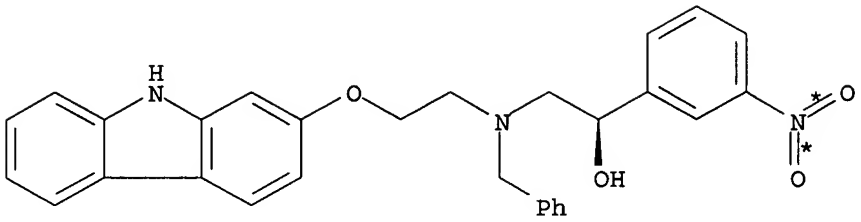


N

RX(4) RCT M 296237-87-1, J 129215-12-9
PRO N 329348-24-5
SOL 67-63-0 Me2CHOH

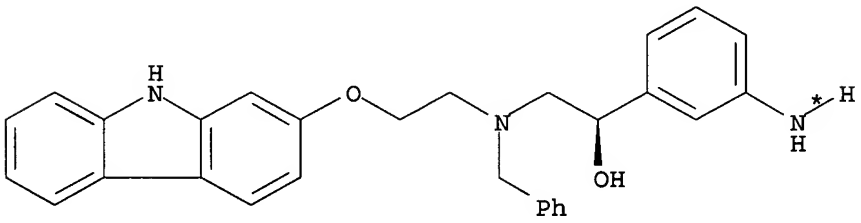
NTE stereoselective

RX(5) OF 28 ...N ===> O...



N

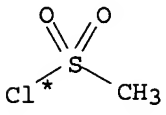
(5)



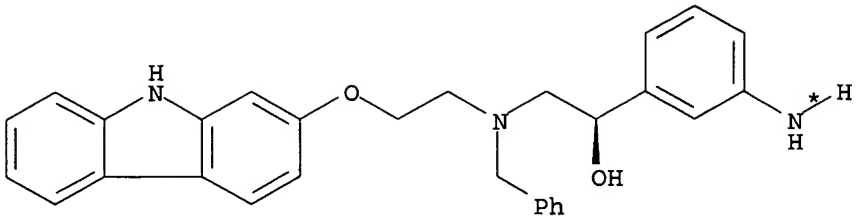
O

RX(5) RCT N 329348-24-5
RGT P 1333-74-0 H2
PRO O 329348-25-6
CAT 1314-15-4 PtO2
SOL 67-56-1 MeOH

RX(6) OF 28 ...R + O ===> S...

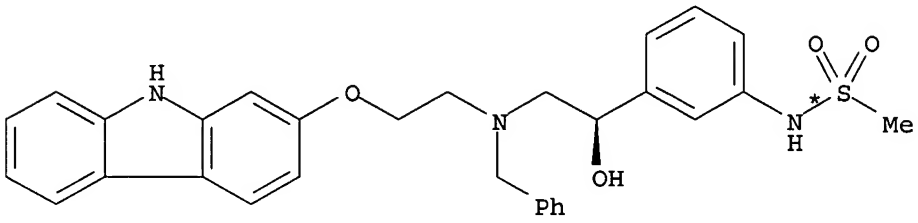


R



O

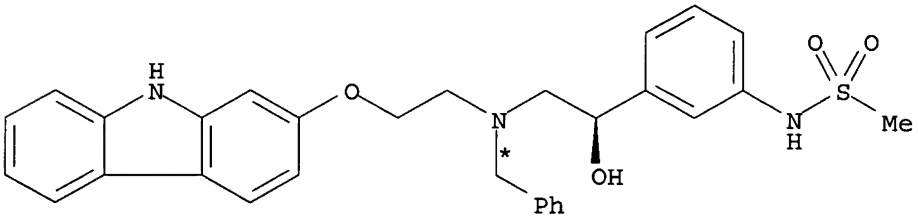
(6)



S

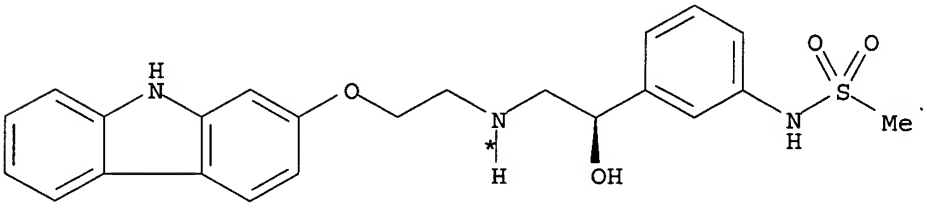
RX(6) RCT R 124-63-0, O 329348-25-6
RGT T 110-86-1 Pyridine
PRO S 296237-93-9
SOL 109-99-9 THF

RX(7) OF 28 ...S ===> V



S

(7)



V

RX(7) RCT S 296237-93-9
RGT P 1333-74-0 H2
PRO V 268727-76-0
CAT 7440-05-3 Pd
SOL 64-17-5 EtOH

10070249

Connecting via Winsock to STN

.

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1613SXW

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4	Apr 09	ZDB will be removed from STN
NEWS 5	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 9	Jun 03	New e-mail delivery for search results now available
NEWS 10	Jun 10	MEDLINE Reload
NEWS 11	Jun 10	PCTFULL has been reloaded
NEWS 12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS 13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS 14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS 15	Jul 30	NETFIRST to be removed from STN
NEWS 16	Aug 08	CANCERLIT reload
NEWS 17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18	Aug 08	NTIS has been reloaded and enhanced
NEWS 19	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 20	Aug 19	IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 21	Aug 19	The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 23	Sep 03	JAPIO has been reloaded and enhanced
NEWS 24	Sep 16	Experimental properties added to the REGISTRY file
NEWS 25	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 26	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 27	Oct 21	EVENTLINE has been reloaded
NEWS 28	Oct 24	BEILSTEIN adds new search fields
NEWS 29	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 30	Oct 25	MEDLINE SDI run of October 8, 2002
NEWS 31	Nov 18	DKILIT has been renamed APOLLIT
NEWS 32	Nov 25	More calculated properties added to REGISTRY
NEWS 33	Dec 02	TIBKAT will be removed from STN
NEWS 34	Dec 04	CSA files on STN
NEWS 35	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 36	Dec 17	TOXCENTER enhanced with additional content
NEWS 37	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 38	Dec 30	ISMEC no longer available
NEWS 39	Jan 13	Indexing added to some pre-1967 records in CA/CAPLUS
NEWS 40	Jan 21	NUTRACEUT offering one free connect hour in February 2003
NEWS 41	Jan 21	PHARMAML offering one free connect hour in February 2003

```
 NEWS 42  Jan 29  Simultaneous left and right truncation added to COMPENDEX,
                  ENERGY, INSPEC
 NEWS 43  Feb 13  CANCERLIT is no longer being updated
 NEWS 44  Feb 24  METADEX enhancements
 NEWS 45  Feb 24  PCTGEN now available on STN
 NEWS 46  Feb 24  TEMA now available on STN
 NEWS 47  Feb 26  NTIS now allows simultaneous left and right truncation
 NEWS 48  Feb 26  PCTFULL now contains images
 NEWS 49  Mar 04  SDI PACKAGE for monthly delivery of multifile SDI results

 NEWS EXPRESS  January 6 CURRENT WINDOWS VERSION IS V6.01a,
               CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN Customer
  agreement.  Please note that this agreement limits use to scientific
  research.  Use for software development or design or implementation
  of commercial gateways or other similar uses is prohibited and may
  result in loss of user privileges and other penalties.

  * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *



FILE 'HOME' ENTERED AT 13:45:44 ON 08 MAR 2003

=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 13:45:50 ON 08 MAR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    7 MAR 2003  HIGHEST RN 497212-14-3
DICTIONARY FILE UPDATES:   7 MAR 2003  HIGHEST RN 497212-14-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
```

PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10070249.str

L1 STRUCTURE UPLOADED

=> Fd
FD IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> d
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 13:46:14 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 28 TO ITERATE

100.0% PROCESSED 28 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 243 TO 877
PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 13:46:26 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 810 TO ITERATE

100.0% PROCESSED 810 ITERATIONS 79 ANSWERS
SEARCH TIME: 00.00.01

L3 79 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 148.15 148.36

FILE 'CAPLUS' ENTERED AT 13:46:31 ON 08 MAR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 8 Mar 2003 VOL 138 ISS 11
FILE LAST UPDATED: 7 Mar 2003 (20030307/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3 full
L4 12 L3

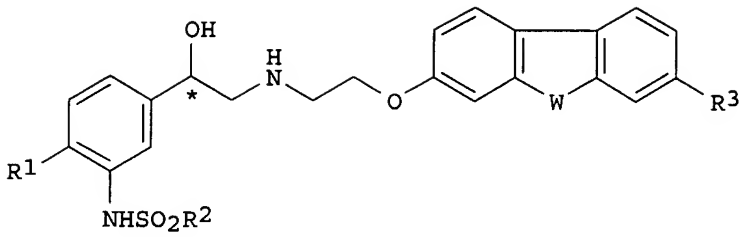
=> d l4 1-12 ibib abs hitstr

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:736110 CAPLUS
DOCUMENT NUMBER: 137:262950
TITLE: Preparation of carbazole, dibenzothiophene, and dibenzofuran derivatives as remedies for fatty liver
INVENTOR(S): Umeno, Hiroshi; Kobayashi, Teruki
PATENT ASSIGNEE(S): Asahi Kasei Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074306	A1	20020926	WO 2002-JP2486	20020315

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-77407 A 20010319
OTHER SOURCE(S): MARPAT 137:262950
GI



I

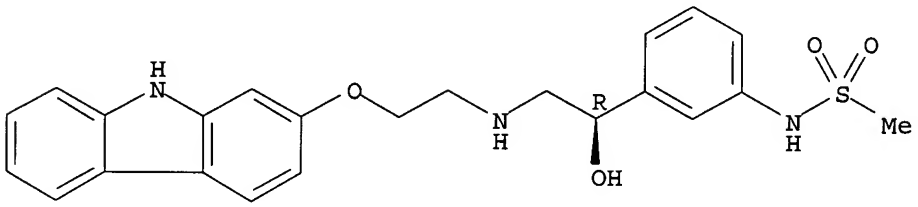
AB Disclosed are remedies for fatty liver contg. the title compds., e.g. [I; R1 = H, halo, OH; R2 = lower alkyl, benzyl; R3 = OR, halo, CF3, lower alkyl, lower acyl, NR4R4', NO2, cyano (wherein R = H, lower alkyl, benzyl, optionally substituted lower acyl; R4, R4' = H, lower alkyl, lower acyl, benzyl, SO2R5; wherein R5 = lower alkyl, benzyl); W = O, NH, S; * denotes an asym. carbon atom] having a .beta.3-agonistic activity. (R)-N-[5-[2-[2-(dibenzothiophen-3-yloxy)ethylamino]-1-hydroxyethyl]-2-hydroxyphenyl]methanesulfonamide hydrochloride and (R)-N-[5-[2-[2-(9H-carbazol-2-yloxy)ethylamino]-1-hydroxyethyl]-2-hydroxyphenyl]methanesulfonamide hydrochloride at 1 mg/kg per day for 4 wk lowered the triglyceride per unit of fatty liver in rat by 25 and 23%, resp.

IT **193760-11-1P 268727-76-0P**, (R)-N-[3-[2-[[2-((9H-Carbazol-2-yl)oxy)ethyl]amino]-1-hydroxyethyl]phenyl]methanesulfonamide **344780-28-5P 344780-58-1P**, (R)-N-[3-[2-[(2-((7-Hydroxy-9H-carbazol-2-yl)oxy)ethyl)amino]-1-hydroxyethyl]phenyl]methanesulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of carbazole, dibenzothiophene, and dibenzofuran derivs. having .beta.3-agonistic activity as remedies for fatty liver)

RN 193760-11-1 CAPLUS

CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

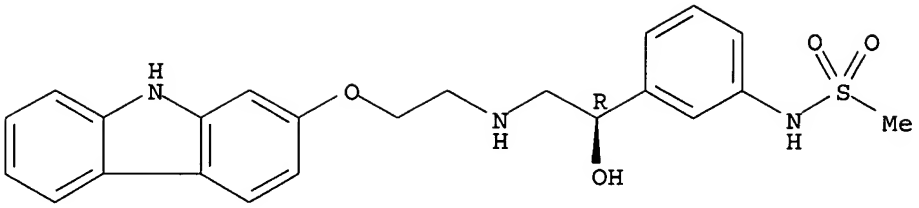


● HCl

RN 268727-76-0 CAPLUS

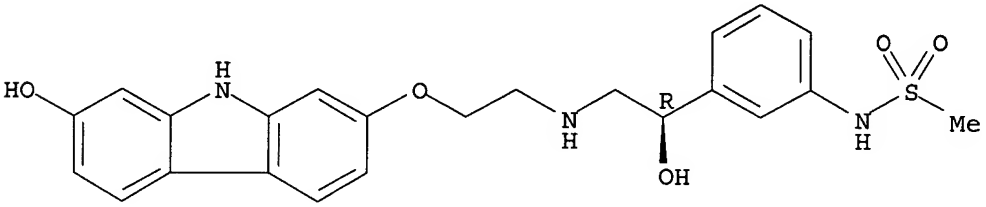
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 344780-28-5 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(7-hydroxy-9H-carbazol-2-yl)oxy]ethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

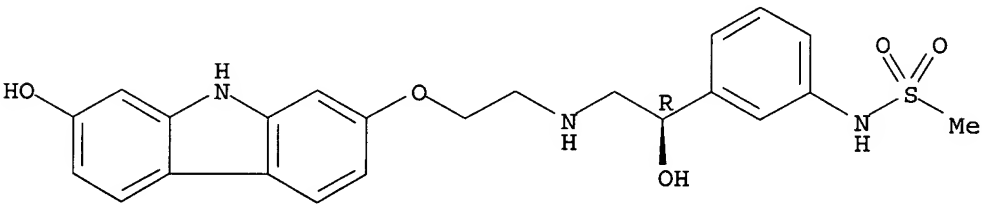
Absolute stereochemistry.



● HCl

RN 344780-58-1 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(7-hydroxy-9H-carbazol-2-yl)oxy]ethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:816640 CAPLUS
DOCUMENT NUMBER: 135:357841
TITLE: Preparation of carbazole derivatives and analogs as remedies for diabetes, obesity, hyperlipidemia, and other diseases
INVENTOR(S): Ikuta, Shunichi; Miyoshi, Shiro; Ogawa, Kohei
PATENT ASSIGNEE(S): Asahi Kasei Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

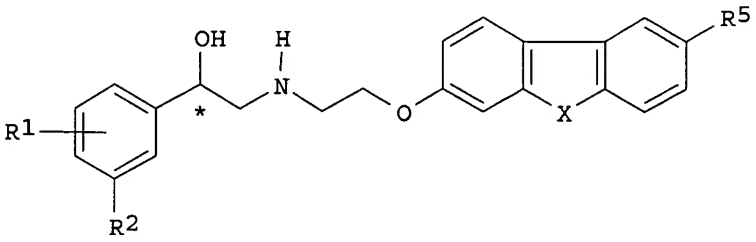
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083453	A1	20011108	WO 2001-JP3574	20010425

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-130415 A 20000428
OTHER SOURCE(S): MARPAT 135:357841
GI

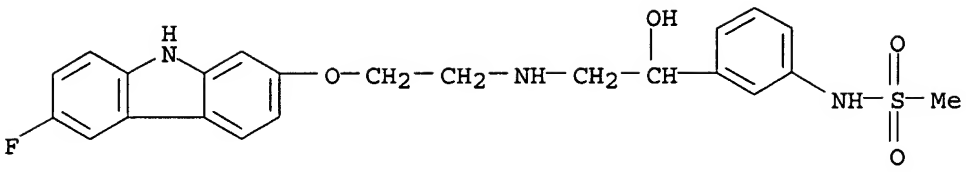


I

AB The title compds. I [R1 is hydrogen, hydroxyl, or halogeno; R2 is NHSO2CH3, SO2NHCH3, NHSO2N(CH3)2, or the like; X is NH, oxygen, sulfur, or methylene; R5 is halogeno, alkyl, alkoxy, acyloxy, or the like; and the asterisk represents an asym. carbon atom] are prepd. I are .beta.3 agonists and are useful as preventive and therapeutic drugs for diabetes, obesity, hyperlipidemia, digestive diseases, depression, and urinary disturbances. In an in vitro test for .beta.3 agonism, N-methyl-[5-[2-[2-(6-fluoro-9H-carbazol-2-yloxy)ethylamino]-1-hydroxyethyl]-2-hydroxy]benzenesulfonamide CF3CO2H salt showed IC50 of 5.5 nM.

IT **372477-77-5P 372477-78-6P 372478-01-8P**
372478-02-9P 372478-03-0P 372478-04-1P
372478-07-4P 372478-08-5P 372478-11-0P
372478-13-2P 372478-15-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of carbazole derivs. and analogs as remedies for diabetes, obesity, hyperlipidemia, and other diseases)

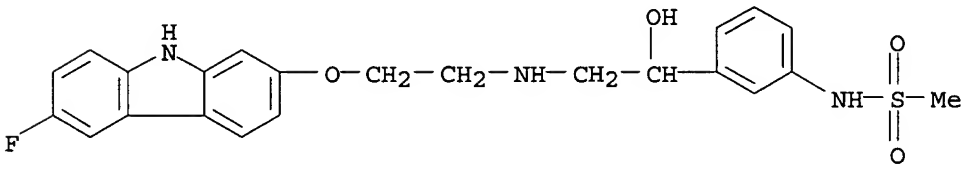
RN 372477-77-5 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-[(6-fluoro-9H-carbazol-2-yl)oxy]ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 372477-78-6 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-[(6-fluoro-9H-carbazol-2-yl)oxy]ethyl]amino]-1-hydroxyethyl]phenyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

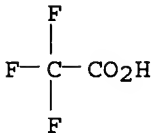
CM 1

CRN 372477-77-5
CMF C23 H24 F N3 O4 S



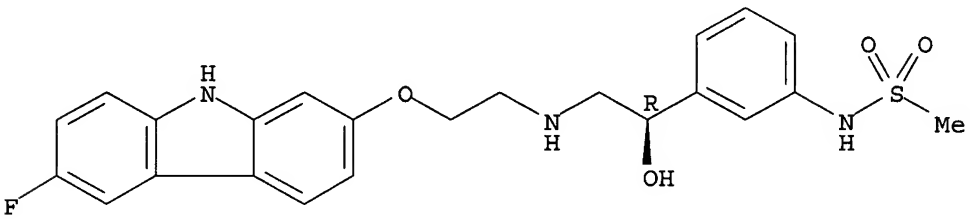
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 372478-01-8 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-[(6-fluoro-9H-carbazol-2-yl)oxy]ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

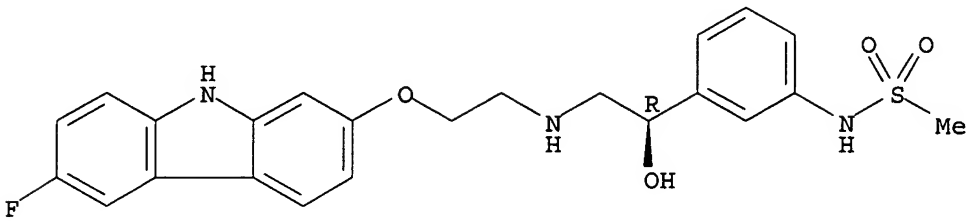
Absolute stereochemistry.



RN 372478-02-9 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-[(6-fluoro-9H-carbazol-2-

yl)oxy]ethyl]amino]-1-hydroxyethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

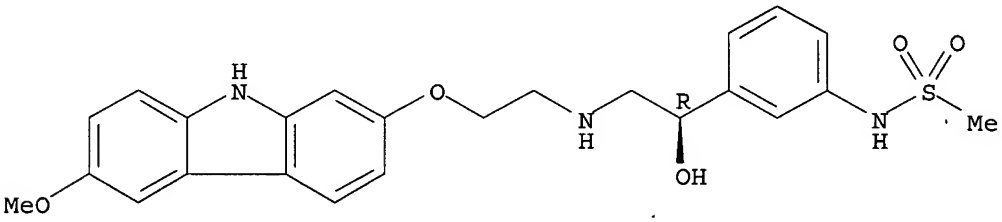
Absolute stereochemistry.



● HCl

RN 372478-03-0 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(6-methoxy-9H-carbazol-2-yl)oxy]ethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

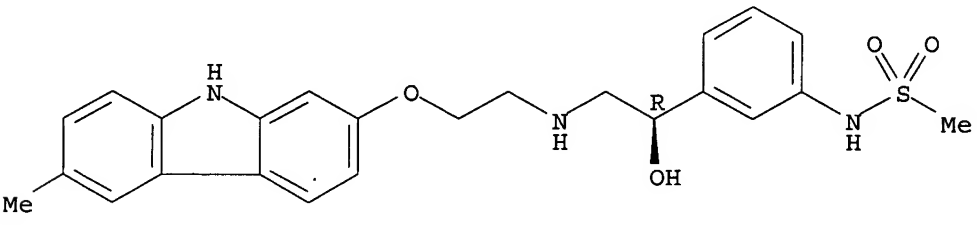
Absolute stereochemistry.



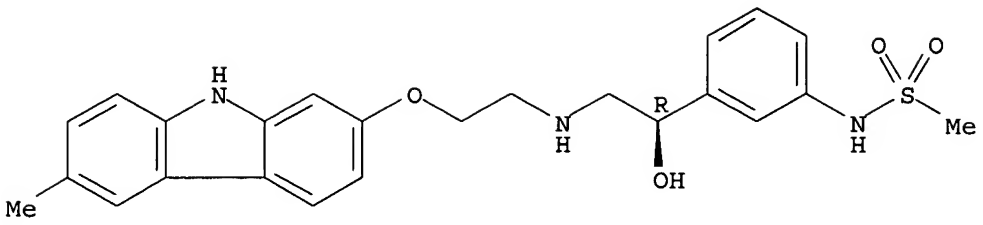
● HCl

RN 372478-04-1 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(6-methyl-9H-carbazol-2-yl)oxy]ethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

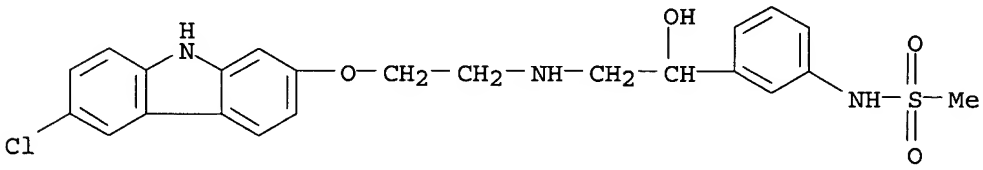


● HCl



● HCl

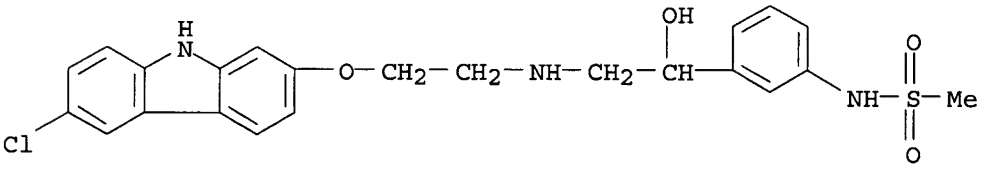
RN 372478-07-4 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-[(6-chloro-9H-carbazol-2-yl)oxy]ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 372478-08-5 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-[(6-chloro-9H-carbazol-2-yl)oxy]ethyl]amino]-1-hydroxyethyl]phenyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

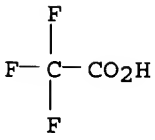
CM 1

CRN 372478-07-4
CMF C23 H24 Cl N3 O4 S

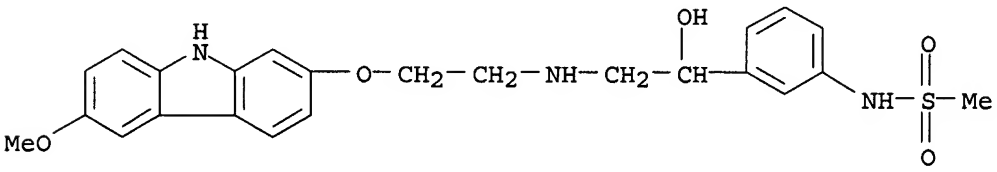


CM 2

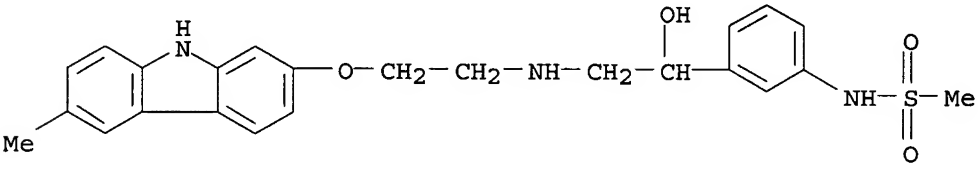
CRN 76-05-1
CMF C2 H F3 O2



RN 372478-11-0 CAPLUS
CN Methanesulfonamide, N-[3-[1-hydroxy-2-[[2-[(6-methoxy-9H-carbazol-2-yl)oxy]ethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

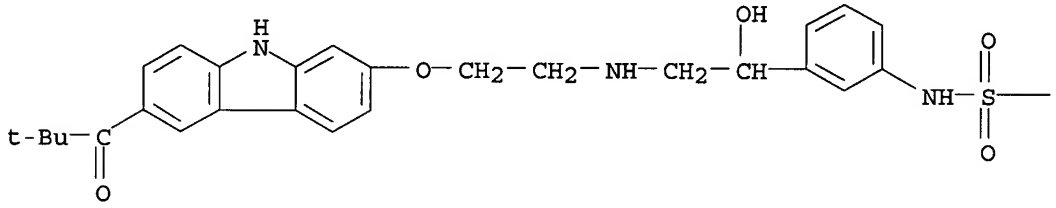


RN 372478-13-2 CAPLUS
CN Methanesulfonamide, N-[3-[1-hydroxy-2-[[2-[(6-methyl-9H-carbazol-2-yl)oxy]ethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 372478-15-4 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-[[6-(2,2-dimethyl-1-oxopropyl)-9H-carbazol-2-yl]oxy]ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

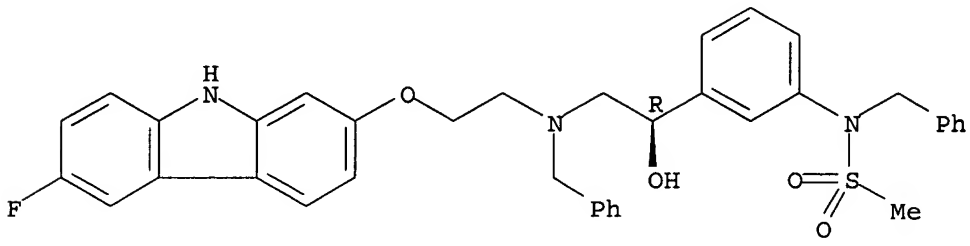
— Me

IT **372478-36-9P 372478-41-6P 372478-44-9P**
372478-49-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of carbazole derivs. and analogs as remedies for diabetes, obesity, hyperlipidemia, and other diseases)

RN 372478-36-9 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-[(6-fluoro-9H-carbazol-2-

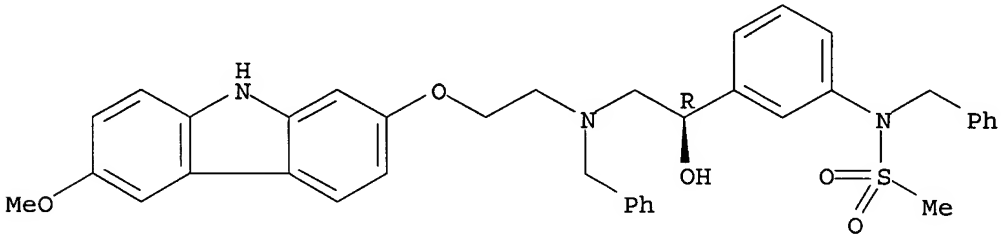
yl)oxy]ethyl](phenylmethyl)amino]-1-hydroxyethyl]phenyl]-N-(phenylmethyl)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



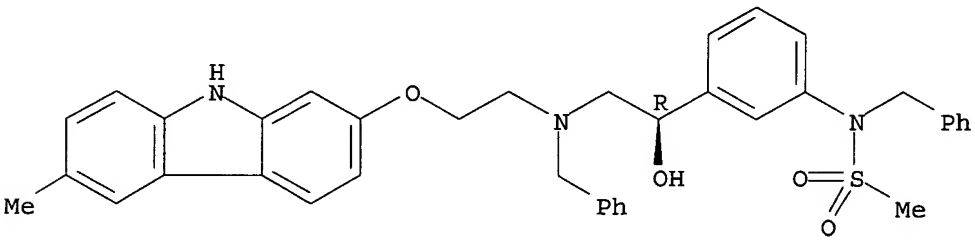
RN 372478-41-6 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(6-methoxy-9H-carbazol-2-yl)oxy]ethyl](phenylmethyl)amino]ethyl]phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 372478-44-9 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(6-methyl-9H-carbazol-2-yl)oxy]ethyl](phenylmethyl)amino]ethyl]phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

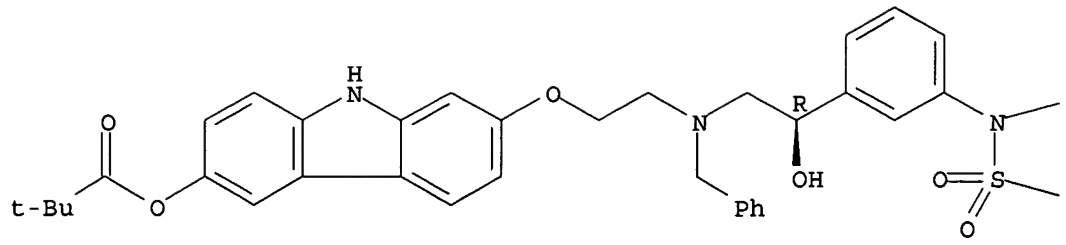
Absolute stereochemistry.



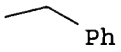
RN 372478-49-4 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 7-[2-[[(2R)-2-hydroxy-2-[3-[(methylsulfonyl)(phenylmethyl)amino]phenyl]ethyl](phenylmethyl)amino]ethoxy]-9H-carbazol-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:597933 CAPLUS
DOCUMENT NUMBER: 135:180775
TITLE: Process for preparing optically active secondary alcohols having nitrogenous or oxygenic functional groups
INVENTOR(S): Nakano, Seiji; Noyori, Ryoji; Ohkuma, Takeshi; Ishii, Dai
PATENT ASSIGNEE(S): Asahi Kasei K. K., Japan
SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001058843	A1	20010816	WO 2001-JP797	20010205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001030583	A5	20010820	AU 2001-30583	20010205
EP 1254885	A1	20021106	EP 2001-902770	20010205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2003045727 A1 20030306 US 2002-203089 20020806
PRIORITY APPLN. INFO.: JP 2000-30127 A 20000208
WO 2001-JP797 W 20010205
OTHER SOURCE(S): CASREACT 135:180775; MARPAT 135:180775

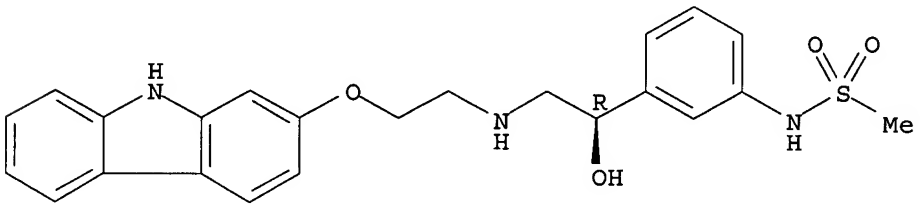
AB Described is a process for prepg. optically active secondary alcs. of the general formula R1C*H(OH)(CH2)nA [wherein R1 is linear lower alkyl, or (un)substituted mono-, di-, or tricyclic arom. hydrocarbon or heterocyclic ring group; A is CH2NR2R3, CH2OR4, or CH(OR15)2; wherein R2 is acyl, alkoxycarbonyl, (un)substituted linear, branched, or cyclic alkyl, (un)substituted alkenyl, aralkyl, or aryl, (un)substituted and (un)satd. carbon chain, (un)substituted mono- or polycyclic heterocyclyl, etc.; R3 is (un)substituted linear, branched, or cyclic alkyl, (un)substituted alkenyl, aralkyl, or aryl, (un)substituted and (un)satd. carbon chain, (un)substituted mono- or polycyclic heterocyclyl, etc.; R4 (un)substituted linear, branched, or cyclic alkyl, (un)substituted benzyl, aralkyl, or aryl, (un)substituted and (un)satd. carbon chain, (un)substituted mono- or polycyclic heterocyclyl, etc.; R15 is linear, branched, or cyclic lower alkyl, (un)substituted Ph or benzyl, etc.; n is an integer of 0 to 2; and * represents an asym. carbon atom] by asym. hydrogenating a ketone compd. of the general formula R1CO(CH2)nA (R1, n, and A are same as above) having a nitrogenous or oxygenic functional group at any of the a-, .beta.- and .gamma.-positions, with selectivity among functional groups by the use of a ruthenium/optically active bidentate phosphine/diamine complex as the catalyst in the presence of hydrogen alone or together with a base. This precess gives in high yields with high enantioselectivity under mild conditions, optically active secondary alcs. which are useful as drugs and intermediates for the prepn. of drugs. Thus, 1.2 mg trans-RuCl2[(S)-xylbinap][(S)-daipen] [wherein xylbinap = 2,2'-bis[bis(3,5-dimethylphenyl)phosphino]-1,1'-binaphthyl; 1-isopropyl-2,2-bis(p-methoxyphenyl)ethylenediamine] (prepn. given), 3.46 g 4'-fluoro-4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]butyrophenone, 200 .mu.L 1.0 M potassium tert-butoxide/2-methyl-2-propanol soln., and 20 mL 2-propanol were vigorously stirred under hydrogen at 8 atm and 25.degree. for 32 h to give 94.5% (R)-1-(4-fluorophenyl)-4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]butanol (99% ee).

IT **193760-11-1P 296238-34-1P 355129-90-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of optically active secondary alcs. having nitrogenous or oxygenic functional groups by asym. hydrogenation of ketones in presence of optically active ruthenium-BINAP-diamine complex catalyst)

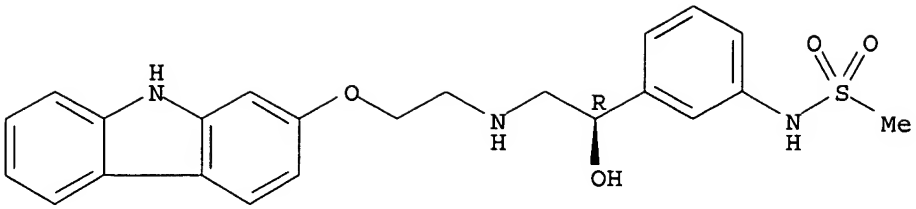
RN 193760-11-1 CAPLUS

CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



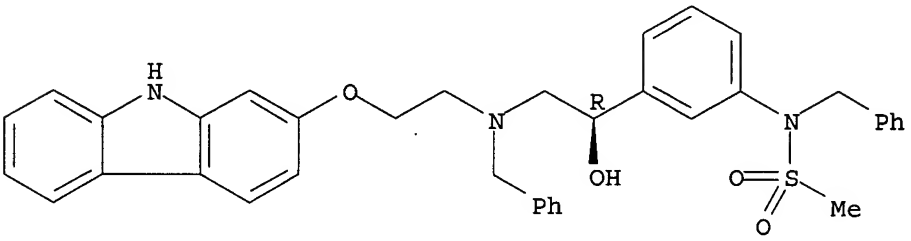
● HCl



● HCl

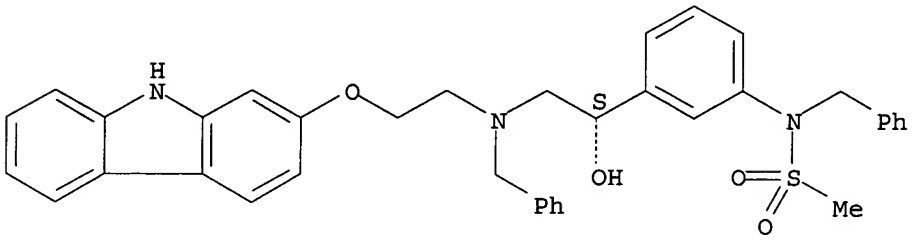
RN 296238-34-1 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl](phenylmethyl)amino]-1-hydroxyethyl]phenyl]-N-(phenylmethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 355129-90-7 CAPLUS
CN Methanesulfonamide, N-[3-[(1S)-2-[[2-(9H-carbazol-2-yloxy)ethyl](phenylmethyl)amino]-1-hydroxyethyl]phenyl]-N-(phenylmethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:564869 CAPLUS
DOCUMENT NUMBER: 135:132451
TITLE: Novel remedies with the use of .beta.3 agonists
INVENTOR(S): Ogawa, Kohei; Umeno, Hiroshi
PATENT ASSIGNEE(S): Asahi Kasei K. K., Japan

10070249

SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001054728	A1	20010802	WO 2001-JP553	20010126
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001027103	A5	20010807	AU 2001-27103	20010126
EP 1258253	A1	20021120	EP 2001-901552	20010126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003018061	A1	20030123	US 2002-182375	20020729

PRIORITY APPLN. INFO.: JP 2000-20733 A 20000128
WO 2001-JP553 W 20010126

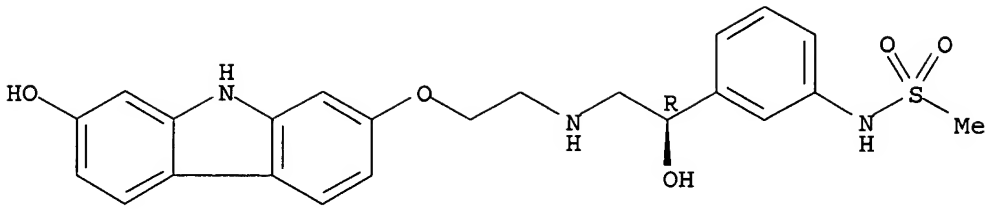
AB Remedies contg. at least one member selected from the group consisting of cholinolytics, monoamine reuptake inhibitors, lipase inhibitors, selective serotonin reuptake inhibitors, insulin, insulin secretion promoters, biguanide, .alpha.-glucosidase inhibitors, insulin resistance improving agents, HMC-CoA reductase inhibitors, anion exchange resins, clofibrate-base drugs and nicotinic acid-base drugs and a compd. having a .beta.3-agonistic activity. The .beta.3 agonist has an activity of inhibiting urination disorder. When used together with a remedy for urination disorder such as propiverine, oxybutynin hydrochloride or tolterodine, it exerts an enhanced anti-urination disorder effect. When used together with an antiobesity agent such as sibutramine or orlistat, it exerts an enhanced antiobesity effect. When used together with an antidiabetic agent such as insulin, glibenclamide, acarbose or rosiglitazone, it exerts an enhanced antidiabetic effect. When used together with an antilipemic drug such as bezafibrate or pravastatin, it exerts an enhanced antilipemic effect.

IT **344780-58-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(novel remedies with the use of .beta.3 agonists as antidiabetics and antilipidemics and for treatment of urination disorder)

RN 344780-58-1 CAPLUS

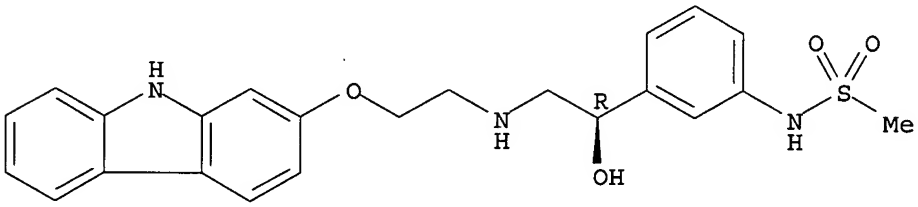
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(7-hydroxy-9H-carbazol-2-yl)oxy]ethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **268727-76-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel remedies with the use of .beta.3 agonists as antidiabetics and antilipidemics and for treatment of urination disorder)
RN 268727-76-0 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:453015 CAPLUS
DOCUMENT NUMBER: 135:46088
TITLE: Preparation of novel substituted tricyclic compounds having activity on .beta.3 adrenergic receptor
INVENTOR(S): Miyoshi, Shiro; Ogawa, Kohei
PATENT ASSIGNEE(S): Asahi Kasei Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

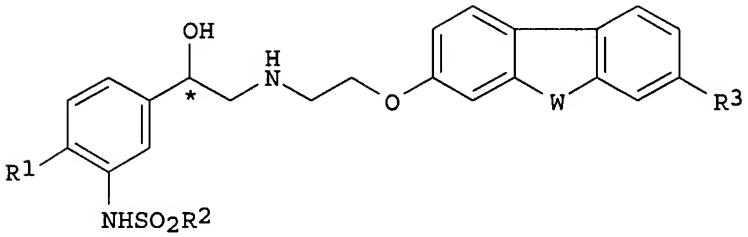
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044187	A1	20010621	WO 2000-JP8816	20001213

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

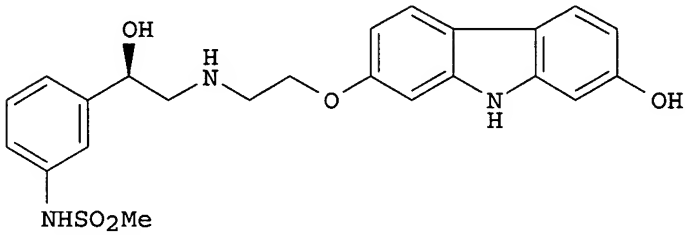
AU 2001018885	A5	20010625	AU 2001-18885		20001213
EP 1238973	A1	20020911	EP 2000-981686		20001213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
NO 2002002847	A	20020814	NO 2002-2847		20020614
US 2003040538	A1	20030227	US 2002-171765		20020617
PRIORITY APPLN. INFO.:			JP 1999-356914	A	19991216
			WO 2000-JP8816	W	20001213

OTHER SOURCE(S): MARPAT 135:46088

GI



I



II

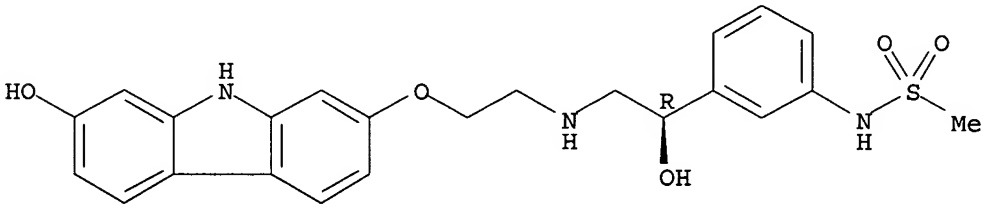
AB Compds. of general formula (I; wherein R1 is hydrogen, halogeno, or hydroxyl; R2 is lower alkyl or benzyl; R3 is OR, halogeno, trifluoromethyl, lower alkyl, lower acyl, NR4R4', nitro, or cyano; R is hydrogen, lower alkyl, benzyl, or optionally substituted lower acyl; R4 and R4' are each independently hydrogen, lower alkyl, lower acyl, benzyl, or SO2R5; R5 is lower alkyl or benzyl; W is oxygen, a secondary nitrogen atom (NH), or sulfur; and * represents an asym. carbon atom), which are useful in the treatment and prevention of .beta.3 adrenergic receptor-related diseases including diabetes, obesity, and hyperlipidemia with reduced side-effects on heart, are prepd. Thus, amination of (R)-1-[3-(N-benzyl-N-methylsulfonylamino)phenyl]oxirane with N-benzylethanolamine at 100.degree. for 1 h gave (R)-N-benzyl-N-[3-[2-(N-benzyl-2-hydroxyethylamino)-1-hydroxyethyl]phenyl]methanesulfonamide which was brominated by N-bromosuccinimide and Ph3P in CH2Cl2 at -15.degree. for 30 min to give (R)-N-benzyl-N-[3-[2-(N-benzyl-2-bromoethylamino)-1-hydroxyethyl]phenyl]methanesulfonamide. Etherification of the latter compd. with 7-benzyloxy-2-hydroxy-9H-carbazole by treatment with 2 N aq. NaOH and THF at room temp. for 30 min gave (R)-N-benzyl-N-[3-[2-[N-benzyl-2-(7-benzyloxy-9H-carbazol-2-yloxy)ethylamino]-1-hydroxyethyl]phenyl]methanesulfonamide which underwent hydrogenolysis over 20% Pd(OH)2/C in AcOH/MeOH at at room temp. for 16 h and at 50.degree. for 2 h to give, after treatment with 4 N HCl/1,4-dioxane, (R)-N-[3-[2-[2-(7-hydroxy-9H-carbazol-2-yloxy)ethylamino]-1-hydroxyethyl]phenyl]methanesulfonamide hydrochloride (II.HCl). II.HCl in

vitro showed ED50 of 0.8 nM for inducing the prodn. of cAMP in CHO cells transfected with human .beta.3 gene.

IT **344780-28-5P 344780-34-3P 344780-39-8P 344780-46-7P 344780-48-9P 344780-58-1P 344780-59-2P 344780-60-5P 344780-70-7P 344780-73-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel substituted tricyclic compds. having activity on .beta.3 adrenalin receptor for treatment or prevention of diabetes, obesity, and hyperlipidemia)

RN 344780-28-5 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(7-hydroxy-9H-carbazol-2-yl)oxy]ethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

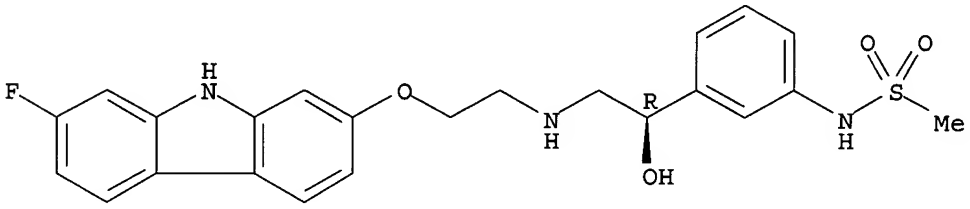
Absolute stereochemistry.



● HCl

RN 344780-34-3 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-[(7-fluoro-9H-carbazol-2-yl)oxy]ethyl]amino]-1-hydroxyethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

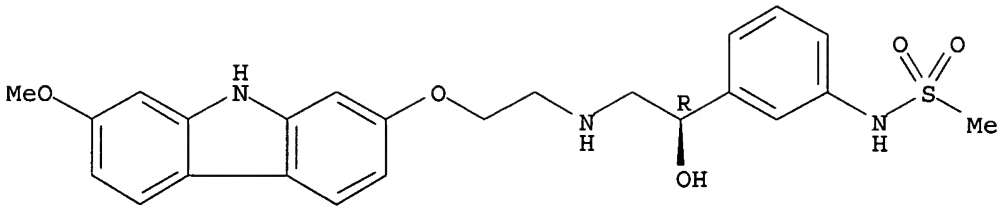
Absolute stereochemistry.



● HCl

RN 344780-39-8 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(7-methoxy-9H-carbazol-2-yl)oxy]ethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

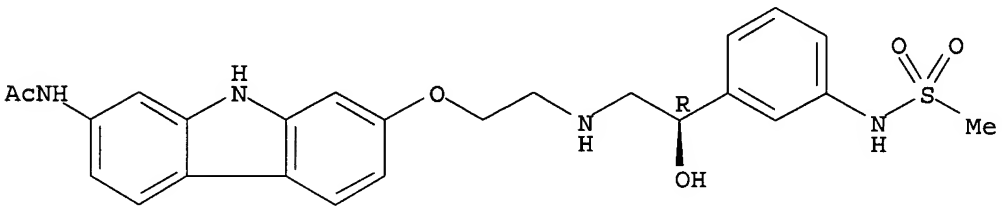
Absolute stereochemistry.



● HCl

RN 344780-46-7 CAPLUS
CN Acetamide, N-[7-[2-[[(2R)-2-hydroxy-2-[3-[(methylsulfonyl)amino]phenyl]ethyl]amino]ethoxy]-9H-carbazol-2-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

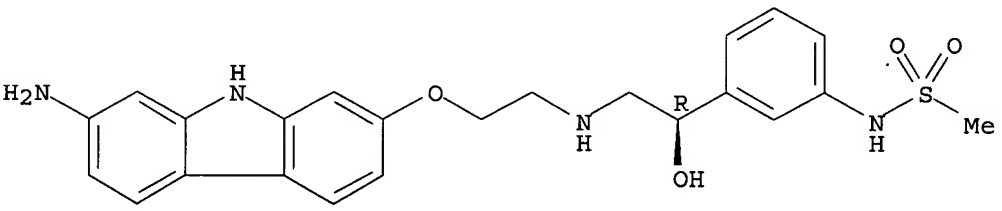
Absolute stereochemistry.



● HCl

RN 344780-48-9 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-[(7-amino-9H-carbazol-2-yl)oxy]ethyl]amino]-1-hydroxyethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

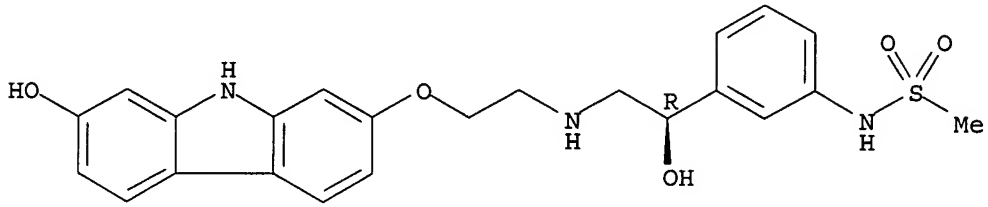


●2 HCl

RN 344780-58-1 CAPLUS

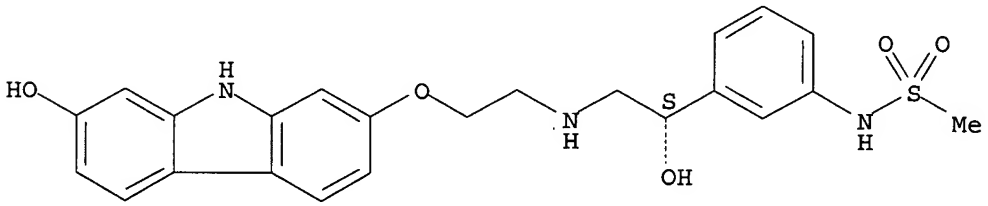
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(7-hydroxy-9H-carbazol-2-yl)oxy]ethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

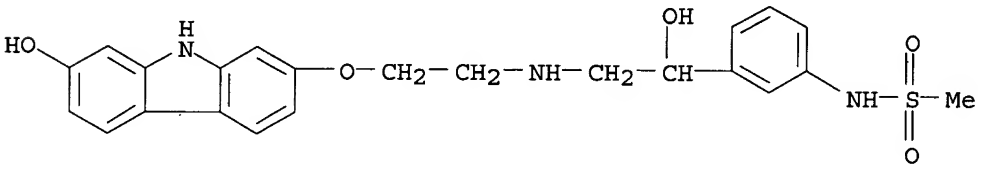


RN 344780-59-2 CAPLUS
CN Methanesulfonamide, N-[3-[(1S)-1-hydroxy-2-[[2-[(7-hydroxy-9H-carbazol-2-yl)oxy]ethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

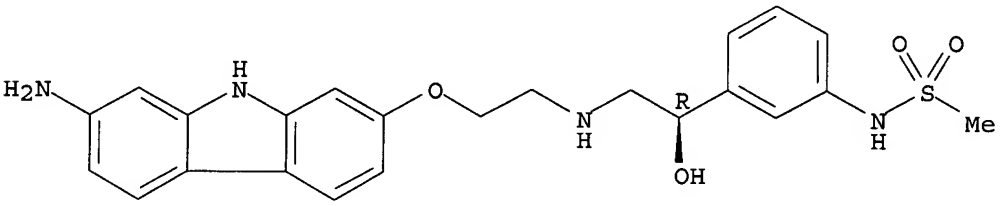


RN 344780-60-5 CAPLUS
CN Methanesulfonamide, N-[3-[1-hydroxy-2-[[2-[(7-hydroxy-9H-carbazol-2-yl)oxy]ethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 344780-70-7 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-[(7-amino-9H-carbazol-2-yl)oxy]ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

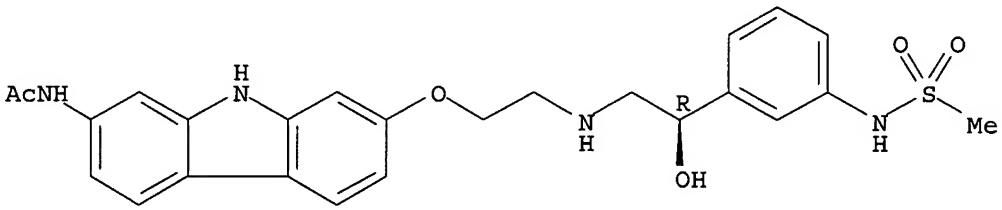
Absolute stereochemistry.



RN 344780-73-0 CAPLUS
CN Acetamide, N-[7-[2-[[(2R)-2-hydroxy-2-[3-[(methylsulfonyl)amino]phenyl]eth

yl]amino]ethoxy]-9H-carbazol-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



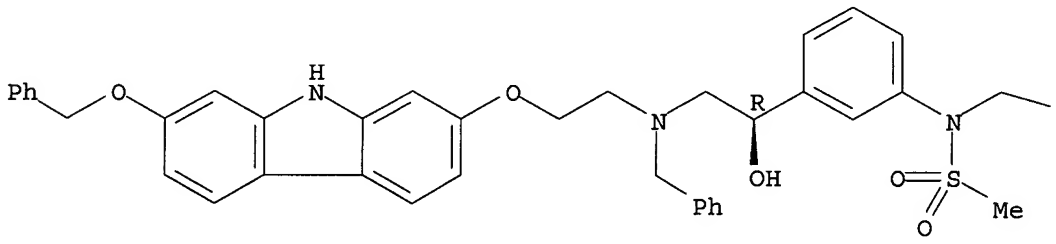
IT **344780-29-6P 344780-35-4P 344780-40-1P**
344780-47-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of novel substituted tricyclic compds. having activity on .beta.3 adrenalin receptor for treatment or prevention of diabetes, obesity, and hyperlipidemia)

RN 344780-29-6 CAPLUS

CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[[7-(phenylmethoxy)-9H-carbazol-2-yl]oxy]ethyl](phenylmethyl)amino]ethyl]phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



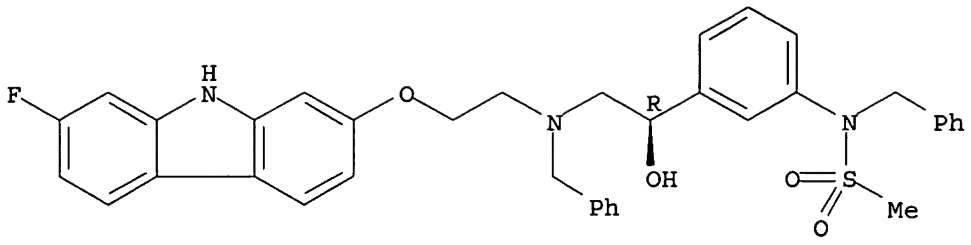
PAGE 1-B

Ph

RN 344780-35-4 CAPLUS

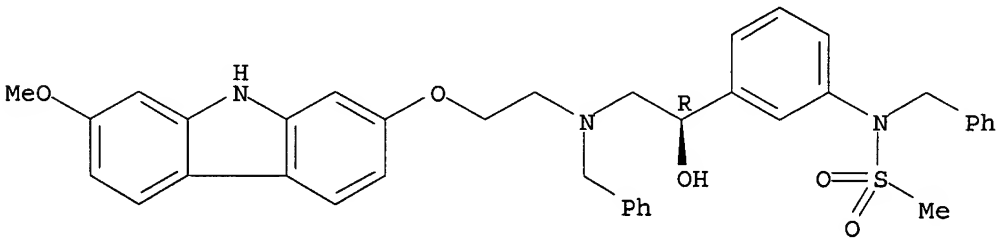
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-[(7-fluoro-9H-carbazol-2-yl)oxy]ethyl](phenylmethyl)amino]-1-hydroxyethyl]phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



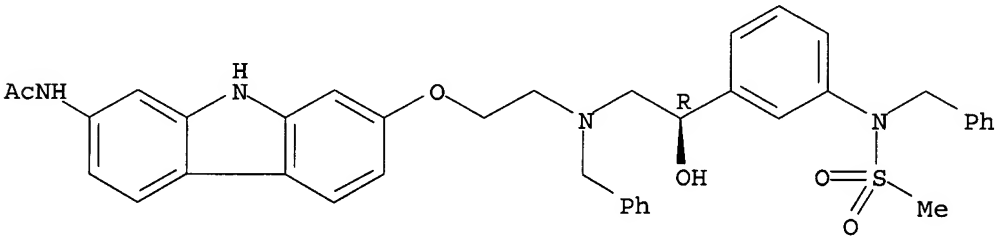
RN 344780-40-1 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(7-methoxy-9H-carbazol-2-yl)oxy]ethyl](phenylmethyl)amino]ethyl]phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 344780-47-8 CAPLUS
CN Acetamide, N-[7-[2-[[(2R)-2-hydroxy-2-[3-[(methylsulfonyl)(phenylmethyl)amino]phenyl]ethyl](phenylmethyl)amino]ethoxy]-9H-carbazol-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:265383 CAPLUS
DOCUMENT NUMBER: 134:300786
TITLE: Method for improving the solubility of tricyclic amino alcohol derivatives
INVENTOR(S): Sumita, Yukio; Suzuki, Kazumi; Nasu, Masaaki; Hara, Toshimi
PATENT ASSIGNEE(S): Asahi Kasei Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 57 pp.

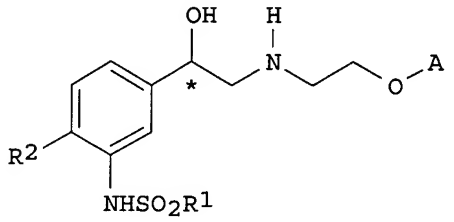
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025198	A1	20010412	WO 2000-JP6715	20000928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000074479	A5	20010510	AU 2000-74479	20000928
BR 2000014469	A	20020611	BR 2000-14469	20000928
EP 1219604	A1	20020703	EP 2000-962932	20000928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NO 2002001574	A	20020531	NO 2002-1574	20020403

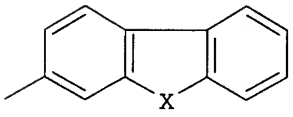
PRIORITY APPLN. INFO.: JP 1999-283033 A 19991004
WO 2000-JP6715 W 20000928

OTHER SOURCE(S): MARPAT 134:300786

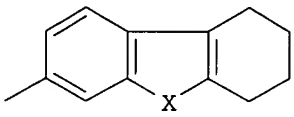
GI



I



II



III

AB Compds. useful in the treatment and prevention of diabetes, obesity, hyperlipidemia and so on are provided in the form of oral prepns. exhibiting good absorbability in the digestive tract. A method for improving the soly. of tricyclic amino alc. derivs. I or salts thereof, characterized by using these derivs. or salts in the form of noncryst. substance, wherein R1 = lower alkyl or benzyl; * represents an asym. carbon atom; R2 = H, halogeno, or OH; and A is a substituent represented by general formula (II) or (III) (X = NH, O, S). A compd. (R)-N-[5-[2-[2-(9H-carbazole-2-yloxy)ethylamino]-1-hydroxyethyl]-2-hydroxyphenyl]methanesulfonamide.cntdot.D-tartrate was prepd. An

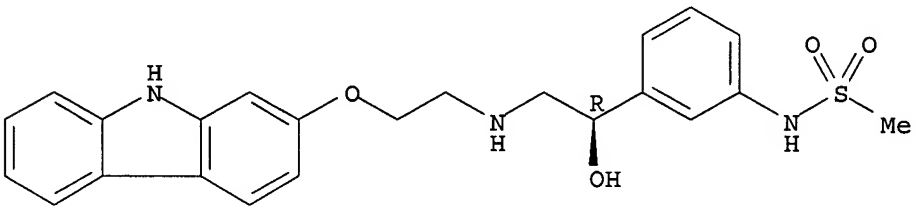
acetone/water soln. contg. the obtained compd. and polyvinylpyrrolidone was spray-dried to obtain a powder having improved soly.

IT **193760-11-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(improvement of solubilities of tricyclic amino alc. derivs.)

RN 193760-11-1 CAPLUS

CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



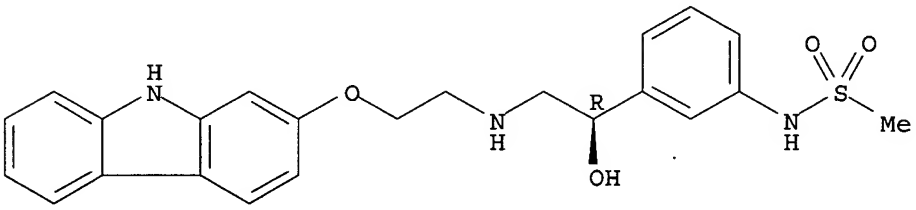
● HCl

IT **268727-76-0**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(improvement of solubilities of tricyclic amino alc. derivs.)

RN 268727-76-0 CAPLUS

CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:185720 CAPLUS

DOCUMENT NUMBER: 134:222624

TITLE: Processes for the preparation of tricyclic amino alcohol derivatives

INVENTOR(S): Matsubara, Koki; Ishii, Naoyuki; Ogawa, Masami

PATENT ASSIGNEE(S): Asahi Kasei Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

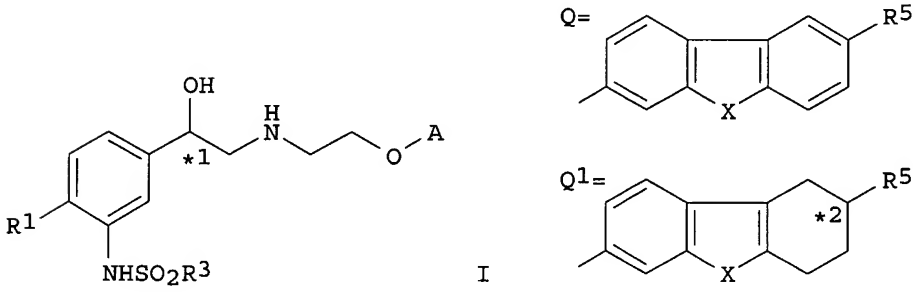
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

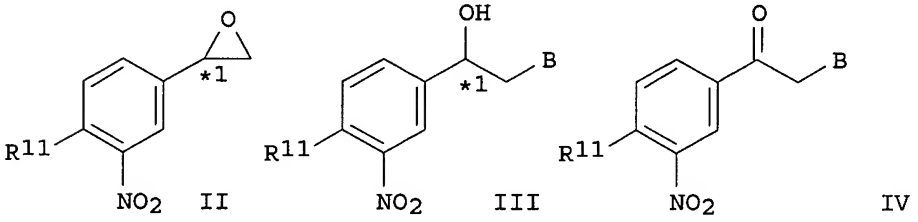
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001017962	A1	20010315	WO 2000-JP5561	20000818
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1209150	A1	20020529	EP 2000-953514	20000818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000013717	A	20020702	BR 2000-13717	20000818
NO 2002001066	A	20020503	NO 2002-1066	20020304

PRIORITY APPLN. INFO.: JP 1999-250848 A 19990903
JP 2000-30826 A 20000208
WO 2000-JP5561 W 20000818

OTHER SOURCE(S): CASREACT 134:222624; MARPAT 134:222624
GI



I



AB A process for the prepn. of tricyclic amino alc. derivs. (I; R1 = H, halo, OH; R3 = lower alkyl, CH2Ph; *1 represents an asym. carbon atom.; A = Q, Q1; X = NH, O, S; R5 = H, OH, NH2, acetylamino; when R5 is not H, *2 represents an asym. carbon atom.) are prepd. through intermediates represented by general formula (II, III, and IV) (wherein R11 = H, halo, protected OH; B = Cl, Br; 1* represents an asym. carbon atom.). The

intermediates such as 2-Halo-1-(3-nitrophenyl)ethanone derivs. IV and 1-(3-nitrophenyl)oxirane derivs. II are easy of purifn., and particularly optically active II are effective in enhancing the optical purities of the final products. These tricyclic amino alc. derivs. I including 2-[N-[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-[(3-methylsulfonylamino)phenyl]ethanol (V) are useful in the treatment of diabetes, obesity, hyperlipemia and so on (no data). Thus, a soln. of 3'-nitroacetophenone in CH2Cl2/MeOH was treated dropwise with a soln. of SO2Cl2 in CH2Cl2 over a period of 1 h and stirred at room temp. for 1 h to give 3'-nitro-2-chloroacetophenone which was reduced by HCO2H/Et3N (5:2 complex) in the presence of chloro[(S,S)-N-methanesulfonyl-1,2-diphenylethylenediamine] (p-cymene)ruthenium complex in 2-propanol at room temp. for 22 h to give (R)-1-(3-nitrophenyl)-2-chloroethanol. A soln. of the latter compd. in 2-propanol was treated dropwise with 2 N aq. NaOH over a period of 20 min and stirred at room temp. for 30 min to give (2R)-2-(3-nitrophenyl)oxirane which was heated with 2-(2-benzylaminoethoxy)carbazole in 2-butanol at 95.degree. under stirring for 8 h to give (R)-1-(3-nitrophenyl)-2-[N-[2-(carbazol-2-yloxy)ethyl]-benzylamino]ethanol. The latter compd. was hydrogenated over platinum oxide in MeOH under normal pressure hydrogen atm. at room temp. for 4 h to give (R)-1-(3-aminophenyl)-2-[N-[2-(carbazol-2-yloxy)ethyl]-benzylamino]ethanol. A soln. of the latter compd. in THF was treated with pyridine, cooled to 0.degree., treated dropwise with MeSO2Cl over a period of 15 min, and stirred at 0.degree. for 4 h to give (R)-1-(3-(methanesulfonylamino)phenyl)-2-[N-[2-(carbazol-2-yloxy)ethyl]-benzylamino]ethanol which was dissolved in ethanol and hydrogenated over 10% Pd-C under normal pressure hydrogen atm. at 70.degree. for 4 h to give (R)-V.

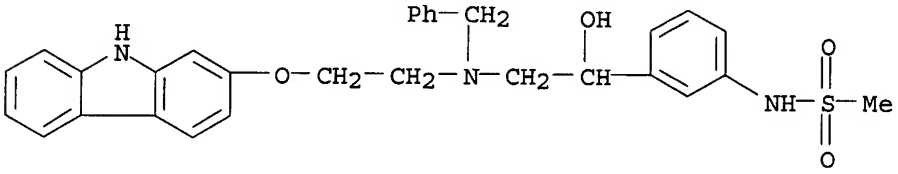
IT **296237-92-8P 296237-93-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(processes for prepn. of tricyclic amino alc. derivs. as antidiabetic, antiobesity, and hypolipidemic agents via addn. reaction of phenyloxirane deriv. with carbazolyloxyethylamine deriv.)

RN 296237-92-8 CAPLUS

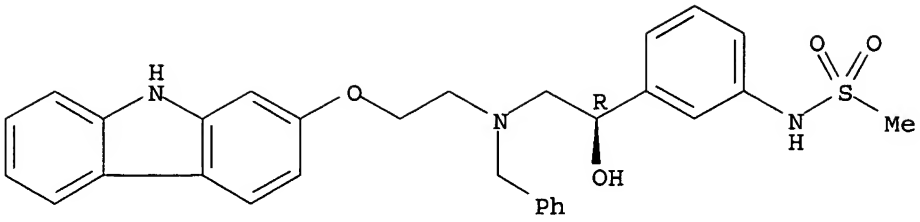
CN Methanesulfonamide, N-[3-[2-[[2-(9H-carbazol-2-yloxy)ethyl](phenylmethyl)amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 296237-93-9 CAPLUS

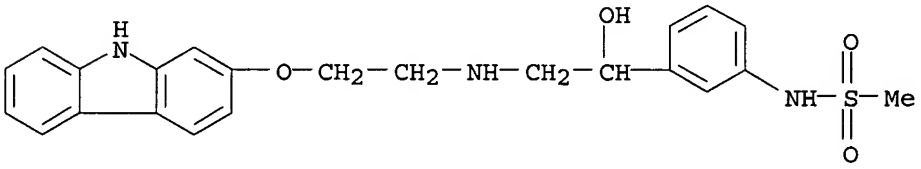
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl](phenylmethyl)amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



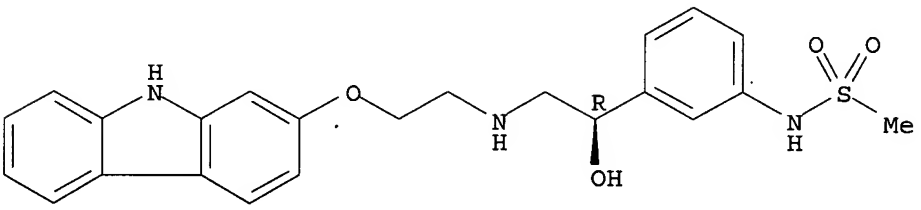
IT **193759-98-7P 268727-76-0P 296238-44-3P**
296238-51-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(processes for prepn. of tricyclic amino alc. derivs. as antidiabetic, antiobesity, and hypolipidemic agents via addn. reaction of phenyloxirane deriv. with carbazolyloxyethylamine deriv.)

RN 193759-98-7 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)



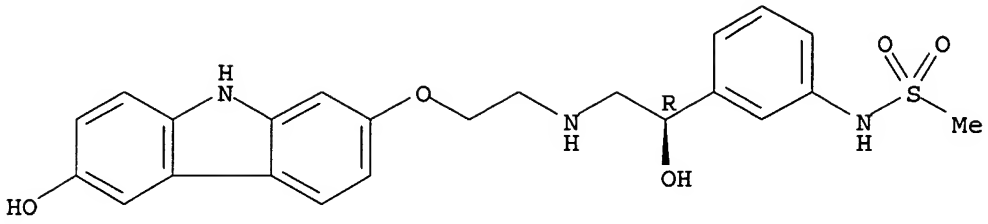
RN 268727-76-0 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 296238-44-3 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(6-hydroxy-9H-carbazol-2-yl)oxy]ethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

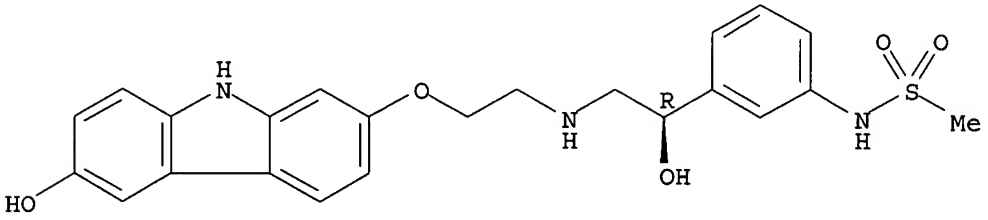
Absolute stereochemistry.



● HCl

RN 296238-51-2 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(6-hydroxy-9H-carbazol-2-yl)oxy]ethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:50622 CAPLUS
DOCUMENT NUMBER: 134:115849
TITLE: Process for the preparation of tricyclic amino alcohol derivatives
INVENTOR(S): Miyoshi, Shiro; Matsubara, Koki
PATENT ASSIGNEE(S): Asahi Kasei Kogyo Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001004092	A1	20010118	WO 2000-JP4015	20000620

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1195371 A1 20020410 EP 2000-937319 20000620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, IE, SI, LT, LV, FI, RO
NO 2002000073 A 20020311 NO 2002-73 20020108
PRIORITY APPLN. INFO.: JP 1999-195519 A 19990709
WO 2000-JP4015 W 20000620
OTHER SOURCE(S): CASREACT 134:115849; MARPAT 134:115849
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A novel process for the prepn. of tricyclic amino alc. derivs. of general formula [I; wherein R1 = lower alkyl, benzyl; *1 represents an asym. carbon atom; R2 = H, halo, HO; and A is a substituent represented by general formula Q or Q1 (wherein X = NH, O, S; R6 = H, hydroxyl, amino, acetylamino; and *2 represents an asym. carbon atom when R6 is not hydrogen)] or salts thereof comprises, e.g. chlorination of acetophenone derivs. (II; X = H; R1 = same as above; R21 = H, halo, protected OH; R3 = amino-protecting group) to .alpha.-chloroacetophenone derivs. II (X = Cl; R1, R3, R21 = same as above), redn. to chlorohydrins (III; R = Cl; R1, R21, R3, *1 = same as above), alkali treatment of the chlorohydrins for cyclization to epoxides (IV; R1, R21, R3, *1 = same as above), ring-opening addn. reaction of the epoxides with ethanolamines represented by formula R4NHCH2CH2OH (R4 = amino-protecting group) to obtain dialc. III (R = NR4CH2CH2OH; R1, R21, R3, R4 , *1 = same as above), bromination of the primary alc., and etherification with A1-OH (A1 = Q, Q1; wherein R6 = H, protected OH or NH or AcNH; *2 = same as above) to obtain III (R = NR4CH2CH2OA1; A1, R1, R21, R3, R4, *1, *2 = same as above) followed by deprotection. The compds. I are useful in the treatment and prevention of diabetes, obesity, hyperlipemia and so on (no data). Thus, chlorination of 3'-(N-benzyl-N-methylsulfonylamino)acetophenone by SO2Cl2 in CH2Cl2 at room temp. to 2-chloro-1-[3-(N-benzyl-N-methylsulfonylamino)phenyl]ethanone followed by stereoselective redn. with formic acid-triethylamine complex in the presence of [(S,S)-N-(p-toluenesulfonyl)-1,2-diphenylethylenediamine](p-cymene)ruthenium complex in THF at room temp. for 4 h gave (R)-2-chloro-1-[3-(N-benzyl-N-methylsulfonylamino)phenyl]ethanol. Cyclization of the latter chlorohydrin with K2CO3 in acetone under reflux for 5 h to (R)-1-[3-(N-benzyl-N-methylsulfonylamino)phenyl]oxirane and ring-opening amination of the epoxide with N-benzylethanolamine at 100.degree. for 13 h gave (R)-2-[N'-benzyl-N'-(2-hydroxyethyl)amino]-1-[3-(N-benzyl-N-methylsulfonylamino)phenyl]ethanol followed by bromination with N-bromosuccinimide and Ph3P in THF at -15.degree. for 15 min and etherification of (R)-2-[N'-benzyl-N'-(2-hydroxyethyl)amino]-1-[3-(N-benzyl-N-methylsulfonylamino)phenyl]ethyl bromide with 2-hydroxycarbazole in a mixt. of 2 N NaOH and THF at room temp. for 5 h gave (R)-2-[N'-benzyl-N'-[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-[3-(N-benzyl-N-methylsulfonylamino)phenyl]ethanol. Hydrogenolysis of the latter compd. over Pd(OH)2/C at room temp. overnight followed by treatment with 0.1 N HCl/ethanol gave (R)-2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-[3-(methylsulfonylamino)phenyl]ethanol hydrochloride.

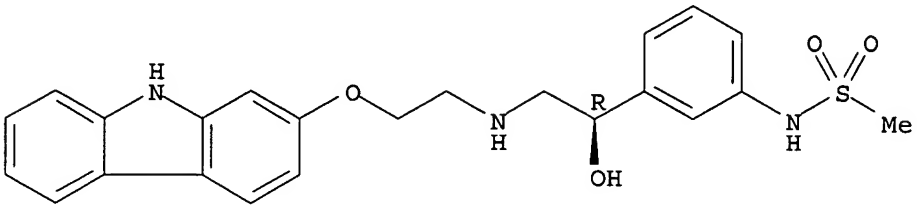
IT **268727-76-0P 296238-20-5P 296238-34-1P**
296238-47-6P 296238-50-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of tricyclic amino alc. derivs. via chlorination of acetophenone derivs., redn. to chlorohydrin, epoxidn., and ring-opening

amination)

RN 268727-76-0 CAPLUS

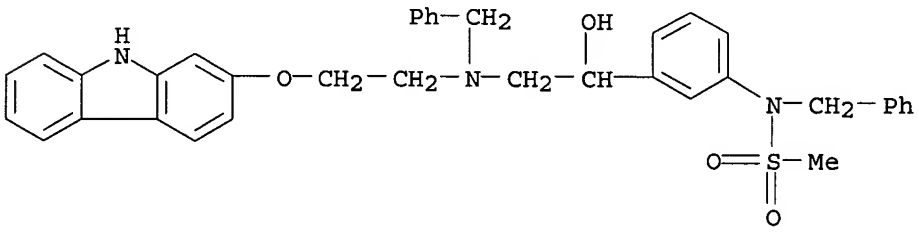
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 296238-20-5 CAPLUS

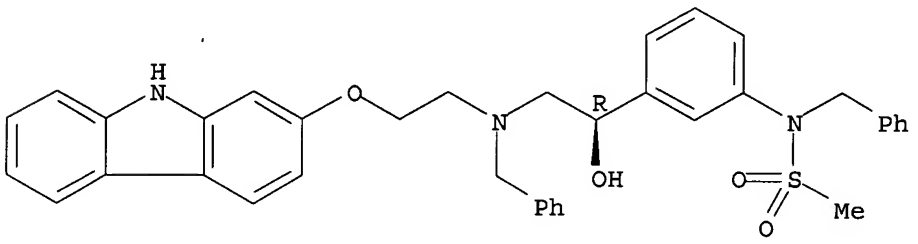
CN Methanesulfonamide, N-[3-[2-[[2-(9H-carbazol-2-yloxy)ethyl](phenylmethyl)amino]-1-hydroxyethyl]phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 296238-34-1 CAPLUS

CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl](phenylmethyl)amino]-1-hydroxyethyl]phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

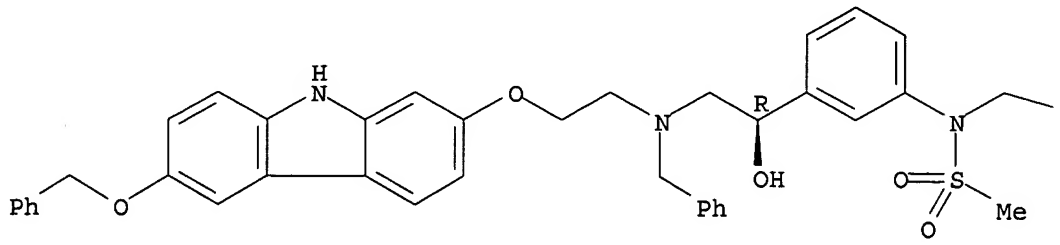


RN 296238-47-6 CAPLUS

CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[[6-(phenylmethoxy)-9H-carbazol-2-yl]oxy]ethyl](phenylmethyl)amino]ethyl]phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

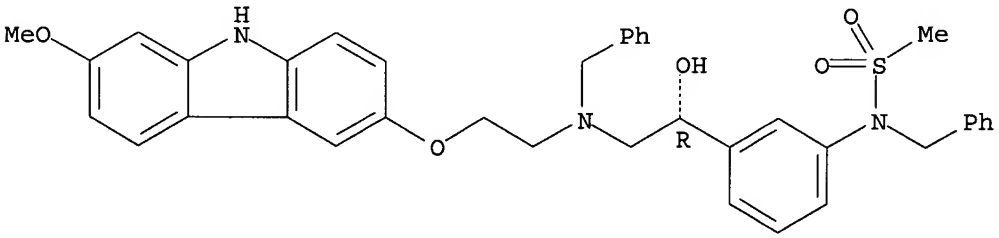


PAGE 1-B

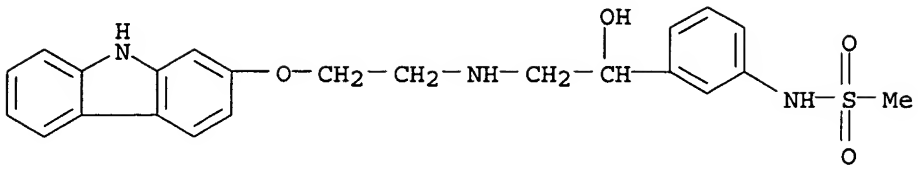
Ph

RN 296238-50-1 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(7-methoxy-9H-carbazol-3-yl)oxy]ethyl](phenylmethyl)amino]ethyl]phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



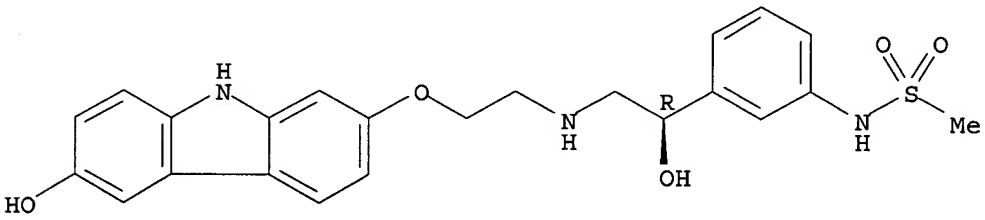
IT **193759-99-8P 296238-44-3P 296238-51-2P 320727-93-3P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tricyclic amino alc. derivs. via chlorination of acetophenone derivs., redn. to chlorohydrin, epoxidn., and ring-opening amination)
RN 193759-99-8 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 296238-44-3 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(6-hydroxy-9H-carbazol-2-yl)oxy]ethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

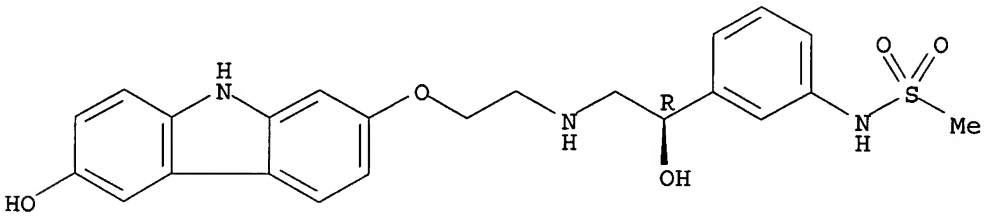
Absolute stereochemistry.



● HCl

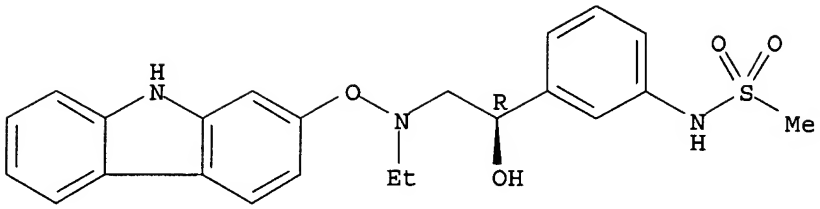
RN 296238-51-2 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(6-hydroxy-9H-carbazol-2-yl)oxy]ethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 320727-93-3 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-2-[(9H-carbazol-2-yloxy)ethylamino]-1-hydroxyethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



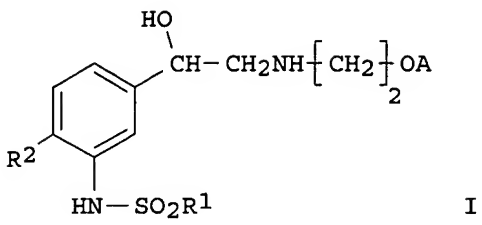
● HCl

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

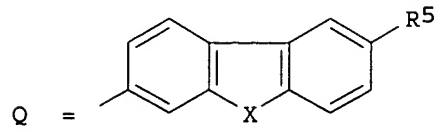
L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:725614 CAPLUS
DOCUMENT NUMBER: 133:296377
TITLE: Method for the preparation of tricyclic amino alcohol derivatives through azides
INVENTOR(S): Matsubara, Koki; Kida, Hitoshi
PATENT ASSIGNEE(S): Asahi Kasei Kogyo Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

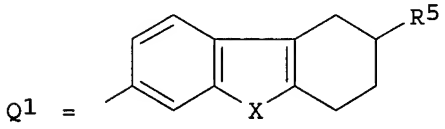
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059885	A1	20001012	WO 2000-JP1767	20000323
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1174426	A1	20020123	EP 2000-911300	20000323
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001004781	A	20011116	NO 2001-4781	20011001

PRIORITY APPLN. INFO.: JP 1999-95430 A 19990401
WO 2000-JP1767 W 20000323
OTHER SOURCE(S): CASREACT 133:296377; MARPAT 133:296377
GI



I

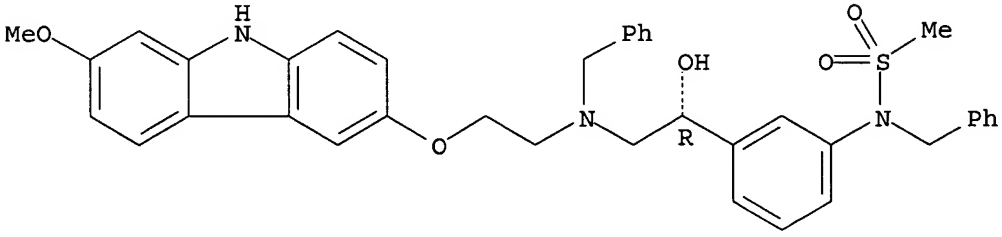




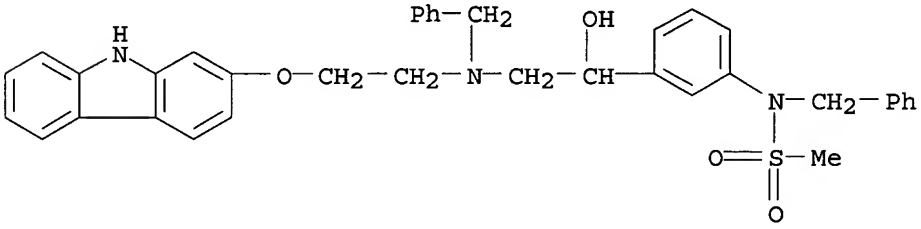
AB Tricyclic amino alc. derivs. represented by general formula [I; wherein R1 is lower alkyl or benzyl; *1 represents an asym. carbon atom; R2 is hydrogen, halogeno or hydroxyl; and A is a substituent represented by general formula Q or Q1 (wherein X is NH, O, or S; R5 is hydrogen, hydroxyl, amino, or acetylamino; and *2 represents an asym. carbon atom when R5 is not hydrogen)] are prepd. via asym. redn. of phenacyl azides (II; R21 is hydrogen, halogeno or (un)protected hydroxyl; R3 is hydrogen or amino-protecting group; and R1 is lower alkyl or benzyl) to chiral azido alcs. (III) or amino alcs. (IV; R21, R1, R3 are same as above). This process makes it possible to prep. the derivs. I by a short, easy, inexpensive, and practical prodn. process excellent in industrial workability. Compds. I are useful in the treatment and prevention of diabetes, obesity, hyperlipidemia, and so on (no data). Thus, 58 mg [(S,S)-N-methanesulfonyl-1,2-diphenylethylenediamine](p-cymene)ruthenium (prepn. given) was added to a soln. of 3.6 g 2-azido-1-(4-benzyloxy-3-methylsulfonylaminophenyl)ethanone (prepn. given) in 2.5 mL formic acid/triethylamine soln. (Fluka) in 6.5 mL THF and stirred at 5.degree. for 43 h to give 95.0% (R)-2-azido-1-(4-benzyloxy-3-methylsulfonylaminophenyl)ethanol (94.2 %e.e.). In another example, 2-amino-1-(4-benzyloxy-3-methylsulfonylaminophenyl)ethanone hydrochloride (1.0 g) and 2 .mu.L Et3N were added to a soln. of 133 mg chloro(1,5-cyclooctadiene)rhodium(II) dimer and 397 mg (2R,4R)-N-(tert-butoxycarbonyl)-4-dicyclohexylphosphino-2-diphenylphosphinopyrrolidine and stirred under hydrogen atm. at room temp. for 24 h to give 94.0% (R)-2-amino-1-(4-benzyloxy-3-methylsulfonylaminophenyl)ethanol (V). Reductive benzylation of V with benzaldehyde in the presence of Pt2O under hydrogen atm. at room temp. for 15 h followed by amidation with (9H-carbazol-2-yloxy)acetic acid using DCC in THF at room temp. for 24 h, borane redn. in THF, and hydrogenolysis over 10% Pd-C in MeOH gave (R)-2-[N-[2-(9H-carbazol-2-yloxy)]ethyl]amino-1-(4-hydroxy-3-methylsulfonylaminophenyl)ethanol.

IT **296238-50-1P**
RL: BYP (Byproduct); PREP (Preparation)
(prepn. of antidiabetic, antiobesity, or hypolipidemic tricyclic amino alcs., N-[(carbazolyloxy)ethyl]phenylethanolamine derivs. by asym. redn. of phenacyl azides)
RN 296238-50-1 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(7-methoxy-9H-carbazol-3-yl)oxy]ethyl](phenylmethyl)amino]ethyl]phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



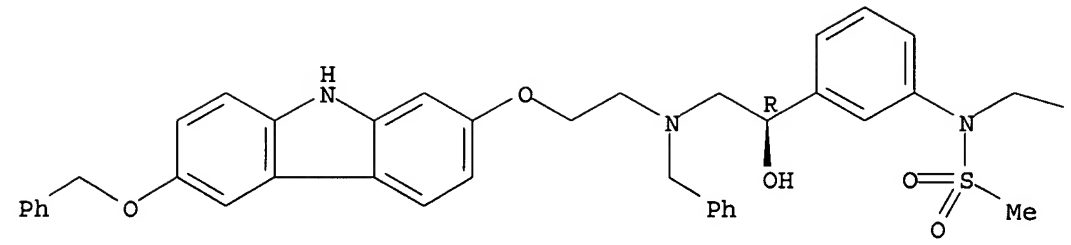
IT **296238-20-5P 296238-47-6P 300345-89-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of antidiabetic, antiobesity, or hypolipidemic tricyclic amino alcs., N-[(carbazolyloxy)ethyl]phenylethanolamine derivs. by asym. redn. of phenacyl azides)
RN 296238-20-5 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-(9H-carbazol-2-yloxy)ethyl](phenylmethyl)amino]-1-hydroxyethyl]phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 296238-47-6 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[[6-(phenylmethoxy)-9H-carbazol-2-yl]oxy]ethyl](phenylmethyl)amino]ethyl]phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

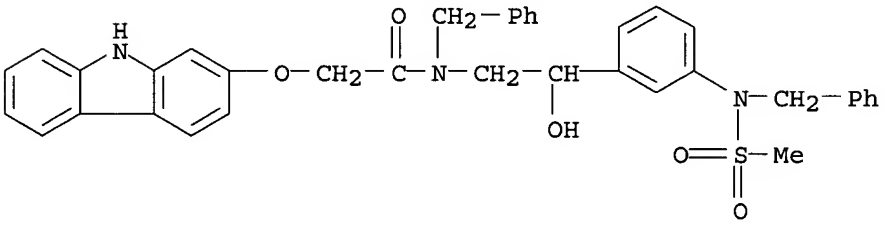
PAGE 1-A



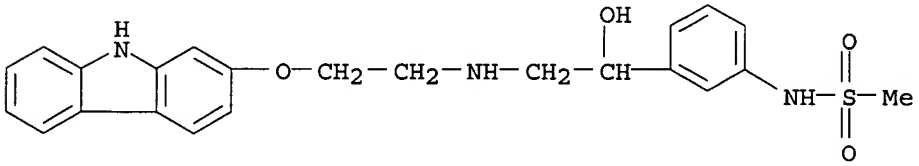
PAGE 1-B

Ph

RN 300345-89-5 CAPLUS
CN Acetamide, 2-(9H-carbazol-2-yloxy)-N-[2-hydroxy-2-[3-[(methylsulfonyl)(phenylmethyl)amino]phenyl]ethyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

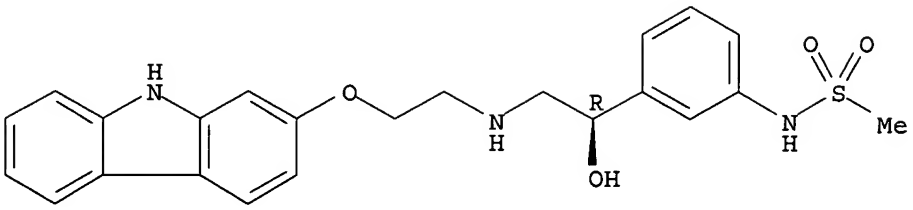


IT **193759-98-7P 193760-11-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of antidiabetic, antiobesity, or hypolipidemic tricyclic amino alcs., N-[(carbazolyloxy)ethyl]phenylethanolamine derivs. by asym. redn. of phenacyl azides)
RN 193759-98-7 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 193760-11-1 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



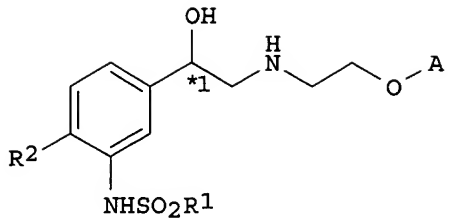
● HCl

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

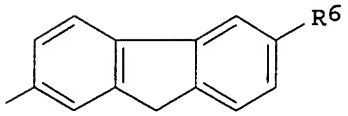
L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:707144 CAPLUS
DOCUMENT NUMBER: 133:252308
TITLE: Novel method for manufacturing tricyclic amino alcohol derivatives
INVENTOR(S): Matsubara, Koki; Kida, Hitoshi
PATENT ASSIGNEE(S): Asahi Kasei Kogyo Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

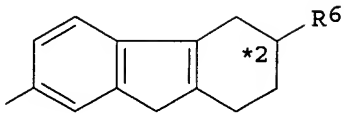
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000058287	A1	20001005	WO 2000-JP1696	20000321
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000009315	A	20011218	BR 2000-9315	20000321
EP 1174425	A1	20020123	EP 2000-909753	20000321
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001004672	A	20011101	NO 2001-4672	20010926
US 6495701	B1	20021217	US 2001-937488	20010926

PRIORITY APPLN. INFO.: JP 1999-83197 A 19990326
WO 2000-JP1696 W 20000321
OTHER SOURCE(S): CASREACT 133:252308; MARPAT 133:252308
GI



I

Q= 

Q1= 

AB Described is a method for manufg. compds. represented by general formula (I; wherein R1 is lower alkyl or benzyl; *1 represents an asym. carbon atom; R2 is hydrogen, halogeno or hydroxyl; and A is a substituent represented by general formula Q or Q1: wherein X is NH, O or S; R6 is hydrogen, hydroxyl, amino or acetylamino; and *2 represents an asym. carbon atom when R6 is not hydrogen) which are useful in the treatment and prevention of diabetes, obesity, hyperlipemia, and so on (no data); and intermediates useful for the method which makes it possible to manuf. the compds. by an easy, inexpensive, practical, and short prodn. process excellent in industrial workability. Thus, a soln. of 10 g 2-bromo-1-(3-methylsulfonylamino)phenylethane in 100 mL THF was added dropwise to a soln. of 21.7 g N-benzyl-N-[2-(9H-carbazol-2-yloxy)]ethylamine in 100 mL THF and stirred overnight to give 16.80 g 2-[N-benzyl-N-[2-(9H-carbazol-2-yloxy)]ethyl]amino-1-(3-methylsulfonylamino)phenylethanone (II) which was converted into the hydrochloride salt. Et3N (0.01 mL) was added to a soln. of 87.4 mg [Rh(cod)Cl]2, 260.7 mg (2R,4R)-4-(dicyclohexylphosphono)-2-(diphenylphosphonomethyl)cyclohexanecarboxylic acid tert-Bu ester, and 1 g II.HCl in 3 mL MeOH and stirred under hydrogen atm. at room temp. for 16 h to give 747 mg (R)-2-[N-benzyl-N-[2-(9H-carbazol-2-yloxy)]ethyl]amino-1-(3-methylsulfonylamino)phenylethanol. The latter compd. (1 g) was dissolved in a 1:1 mixt. of THF and MeOH and hydrogenated over Pd(OH)2/C (Nakarai Tesk Inc., Japan) under hydrogen atm. at room temp. overnight to give (R)-2-[[N-[2-(9H-carbazol-2-yloxy)]ethyl]amino]-1-(3-methylsulfonylaminophenyl)ethanol.

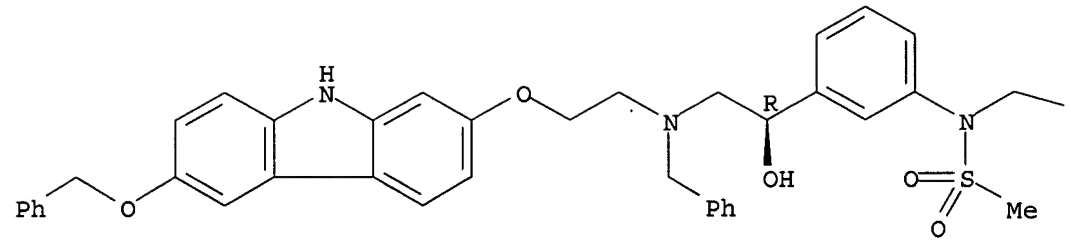
IT **296238-47-6 296238-50-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(method for manufg. tricyclic amino alc. derivs. for treatment of diabetes, obesity, and hyperlipemia)

RN 296238-47-6 CAPLUS

CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[[6-(phenylmethoxy)-9H-carbazol-2-yl]oxy]ethyl](phenylmethyl)amino]ethyl]phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

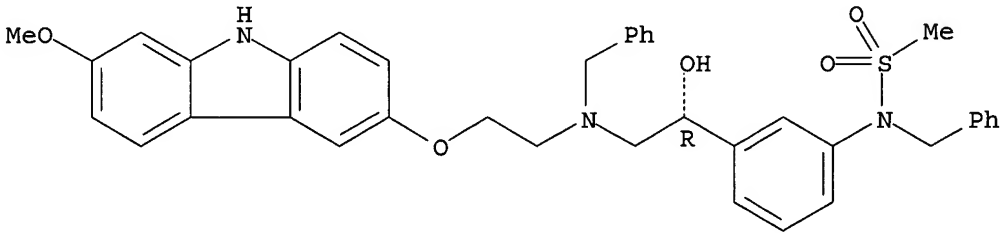


PAGE 1-B

Ph

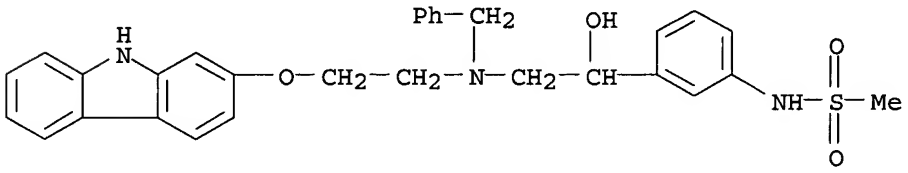
RN 296238-50-1 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(7-methoxy-9H-carbazol-3-yl)oxy]ethyl](phenylmethyl)amino]ethyl]phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **296237-92-8P 296237-93-9P 296238-20-5P**
296238-29-4P 296238-30-7P 296238-34-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(method for manufg. tricyclic amino alc. derivs. for treatment of diabetes, obesity, and hyperlipemia)

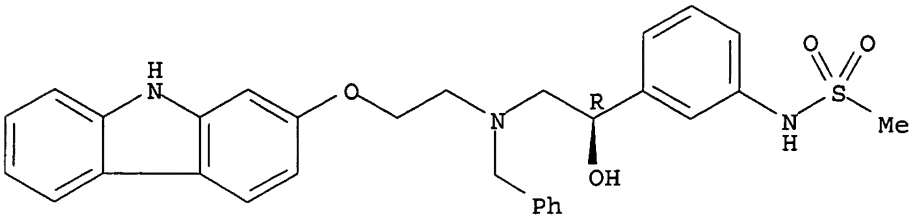
RN 296237-92-8 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-(9H-carbazol-2-yloxy)ethyl](phenylmethyl)amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)



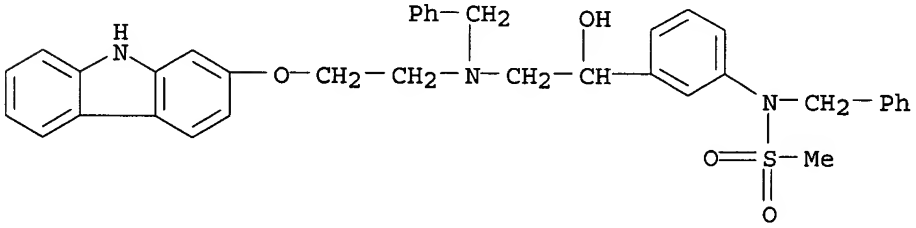
RN 296237-93-9 CAPLUS

CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl](phenylmethyl)amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

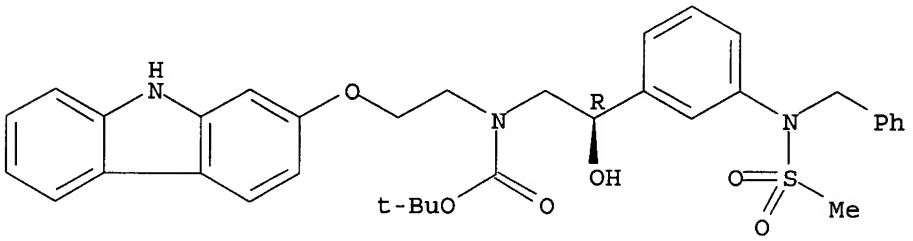


RN 296238-20-5 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-(9H-carbazol-2-yloxy)ethyl](phenylmethyl)amino]-1-hydroxyethyl]phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



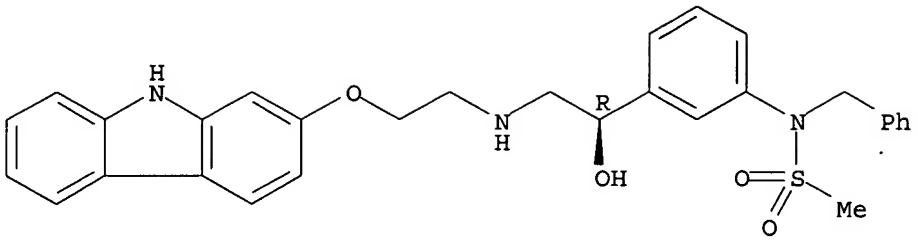
RN 296238-29-4 CAPLUS
CN Carbamic acid, [2-(9H-carbazol-2-yloxy)ethyl][(2R)-2-hydroxy-2-[3-[(methylsulfonyl)(phenylmethyl)amino]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 296238-30-7 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]-N-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

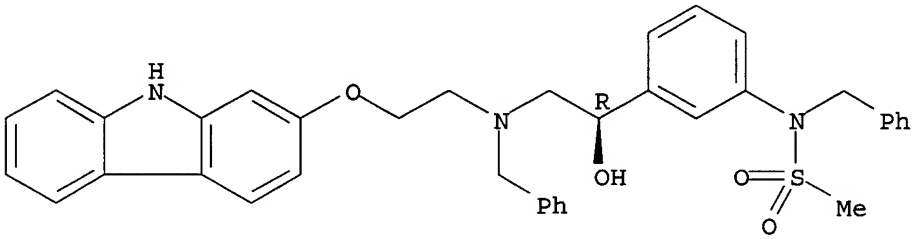
Absolute stereochemistry.



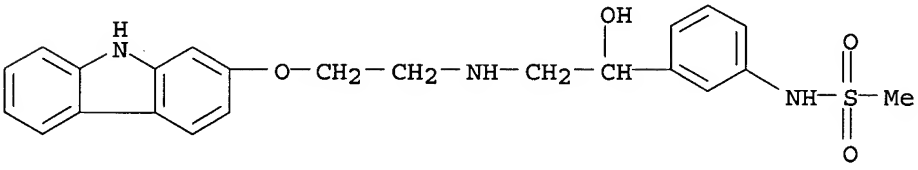
● HCl

RN 296238-34-1 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl](phenylmethyl)amino]-1-hydroxyethyl]phenyl]-N-(phenylmethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



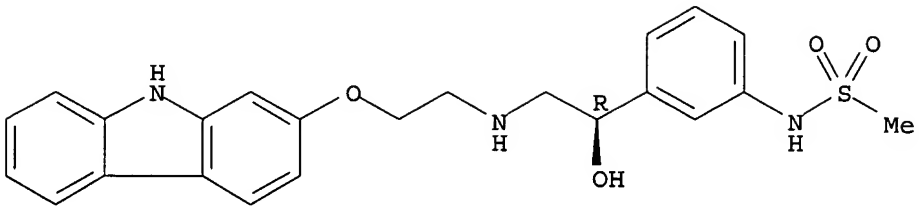
IT **193759-99-8P 193760-11-1P 268727-76-0P**
296238-44-3P 296238-51-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(method for manufg. tricyclic amino alc. derivs. for treatment of diabetes, obesity, and hyperlipemia)
RN 193759-99-8 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 193760-11-1 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

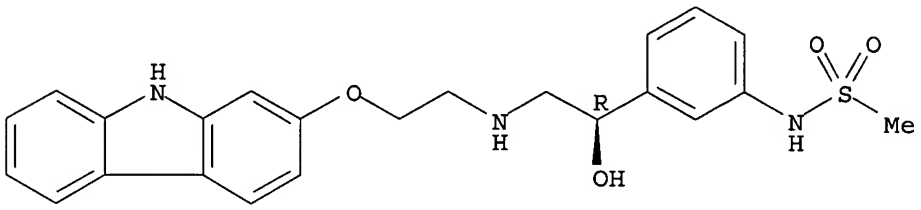
Absolute stereochemistry.



● HCl

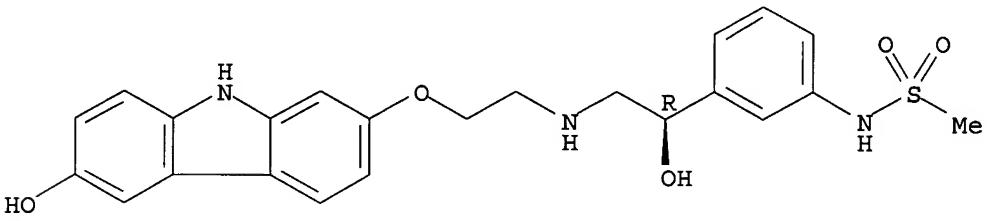
RN 268727-76-0 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 296238-44-3 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(6-hydroxy-9H-carbazol-2-yl)oxy]ethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

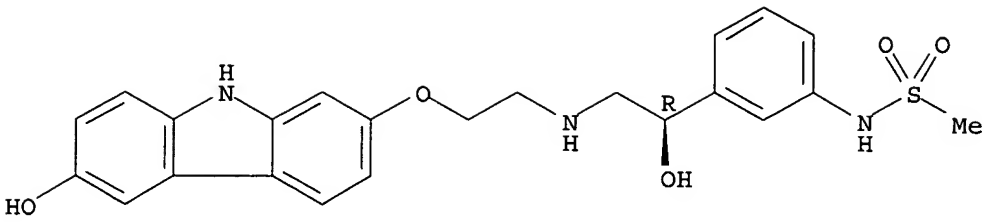
Absolute stereochemistry.



● HCl

RN 296238-51-2 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-1-hydroxy-2-[[2-[(6-hydroxy-9H-carbazol-2-yl)oxy]ethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:335274 CAPLUS
DOCUMENT NUMBER: 132:343329
TITLE: Combinations comprising a .beta.-agonist and a further antidiabetic agent for the treatment of diabetes mellitus and conditions assocd. with diabetes
INVENTOR(S): Arch, Jonathan Robert Sanders
PATENT ASSIGNEE(S): SmithKline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000027434	A1	20000518	WO 1999-GB3755	19991111
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1128845	A1	20010905	EP 1999-954217	19991111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9915214	A	20011218	BR 1999-15214	19991111
JP 2002529430	T2	20020910	JP 2000-580663	19991111
NO 2001002300	A	20010703	NO 2001-2300	20010510

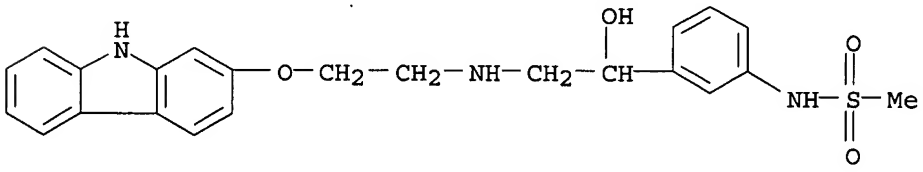
PRIORITY APPLN. INFO.:		
GB 1998-24789	A	19981111
GB 1998-24790	A	19981111
GB 1998-24791	A	19981111
WO 1999-GB3755	W	19991111

AB A method for the treatment of diabetes mellitus and conditions assocd. with diabetes mellitus in a mammal such as a human comprises administering an effective, nontoxic and pharmaceutically acceptable amt. of a .beta.-agonist and another antidiabetic agent.

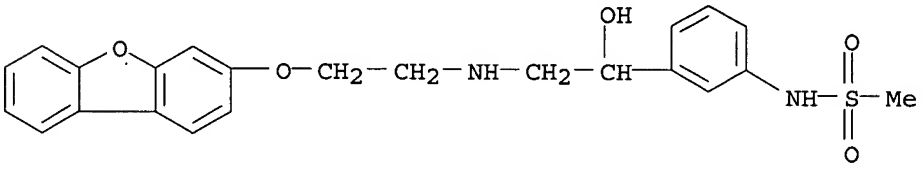
IT **193759-98-7 193760-02-0 193760-06-4 193760-31-5 193761-11-4 193761-29-4 268727-76-0 268727-77-1 268727-78-2 268728-10-5 268728-11-6 268728-17-2 268728-30-9 268728-31-0 268728-32-1**

268728-33-2 268728-34-3 268728-35-4
268728-36-5 268728-37-6 268728-38-7
268728-39-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(.beta.-agonist-antidiabetic combination for treatment of diabetes mellitus and conditions assocd. with diabetes)

RN 193759-98-7 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

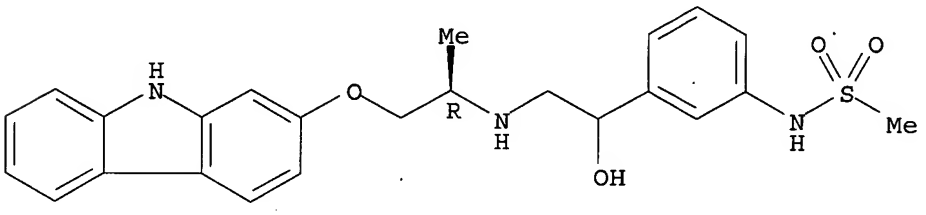


RN 193760-02-0 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-(3-dibenzofuranyloxy)ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)



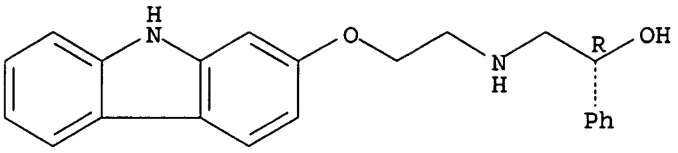
RN 193760-06-4 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[(1R)-2-(9H-carbazol-2-yloxy)-1-methylethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

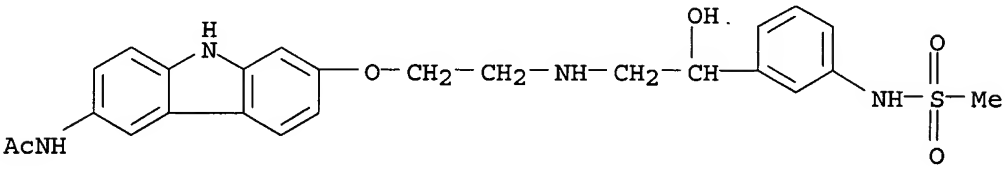


RN 193760-31-5 CAPLUS
CN Benzenemethanol, .alpha.-[[[2-(9H-carbazol-2-yloxy)ethyl]amino]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

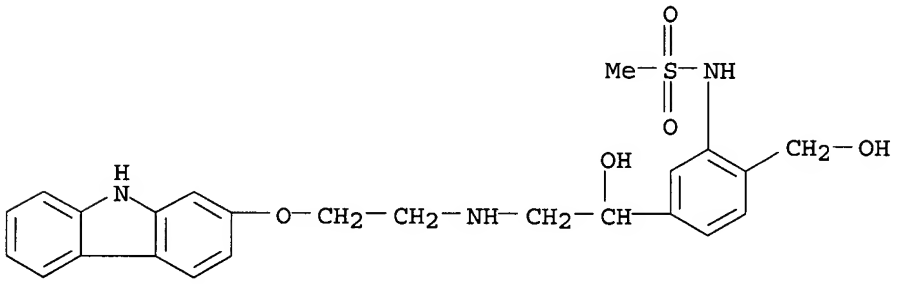
Absolute stereochemistry.



RN 193761-11-4 CAPLUS
CN Acetamide, N-[7-[2-[[2-hydroxy-2-[3-[(methylsulfonyl)amino]phenyl]ethyl]amino]ethoxy]-9H-carbazol-3-yl]- (9CI) (CA INDEX NAME)

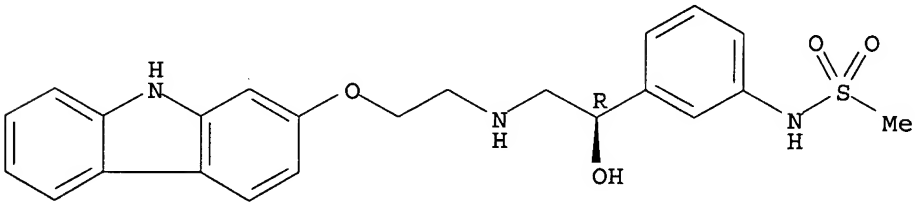


RN 193761-29-4 CAPLUS
CN Methanesulfonamide, N-[5-[2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]-2-(hydroxymethyl)phenyl]- (9CI) (CA INDEX NAME)



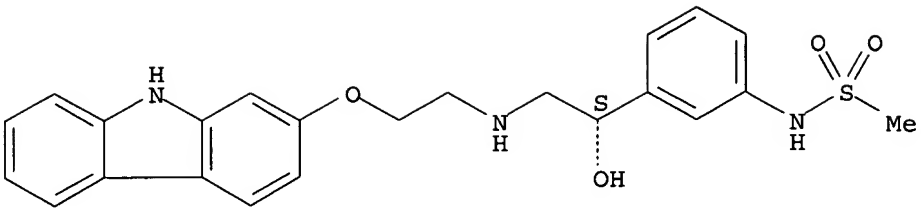
RN 268727-76-0 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

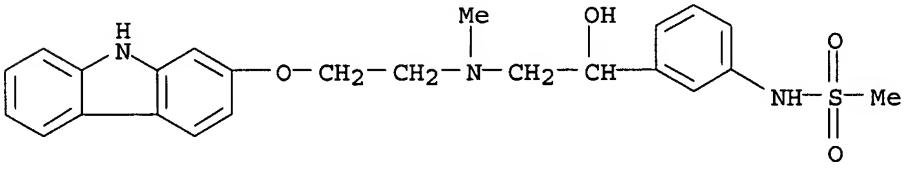


RN 268727-77-1 CAPLUS
CN Methanesulfonamide, N-[3-[(1S)-2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

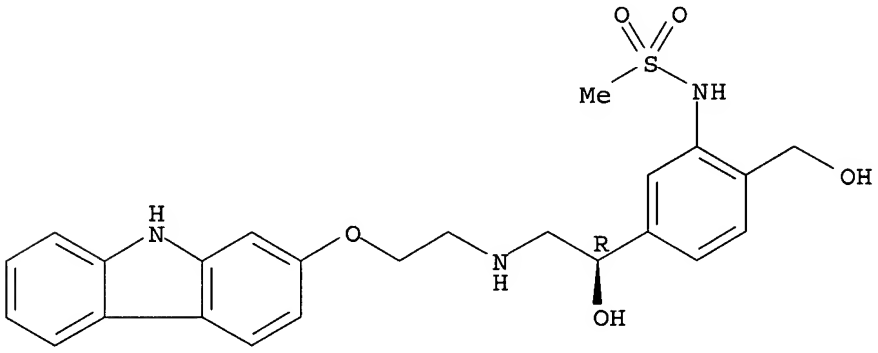


RN 268727-78-2 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-(9H-carbazol-2-yloxy)ethyl]methylamino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)



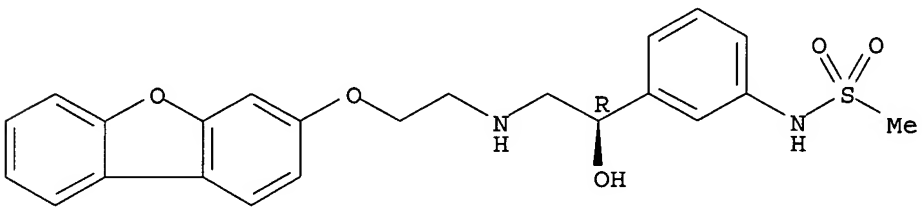
RN 268728-10-5 CAPLUS
CN Methanesulfonamide, N-[5-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]-2-(hydroxymethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



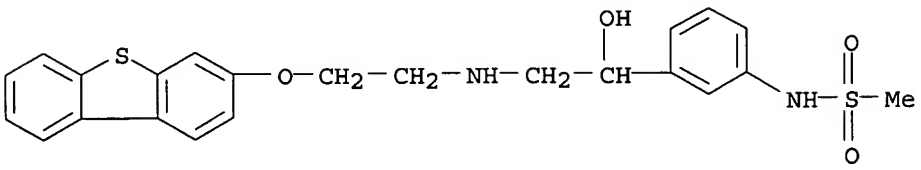
RN 268728-11-6 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(3-dibenzofuranyloxy)ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



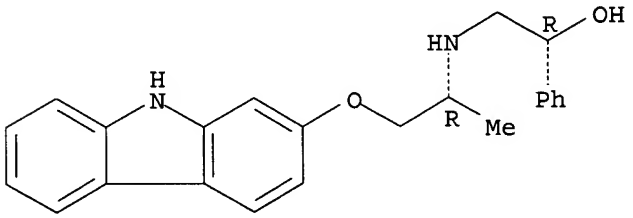
RN 268728-17-2 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-(3-dibenzothienyloxy)ethyl]amino]-1-

hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

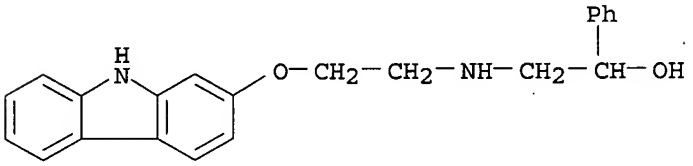


RN 268728-30-9 CAPLUS
CN Benzenemethanol, .alpha.-[[[(1R)-2-(9H-carbazol-2-yloxy)-1-methylethyl]amino]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

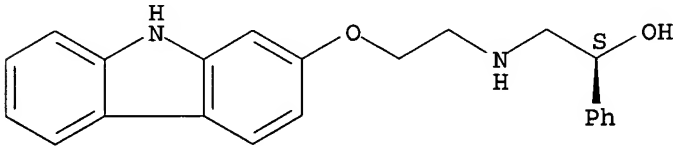


RN 268728-31-0 CAPLUS
CN Benzenemethanol, .alpha.-[[[2-(9H-carbazol-2-yloxy)ethyl]amino]methyl]- (9CI) (CA INDEX NAME)

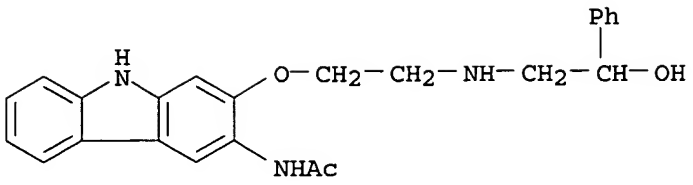


RN 268728-32-1 CAPLUS
CN Benzenemethanol, .alpha.-[[[2-(9H-carbazol-2-yloxy)ethyl]amino]methyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

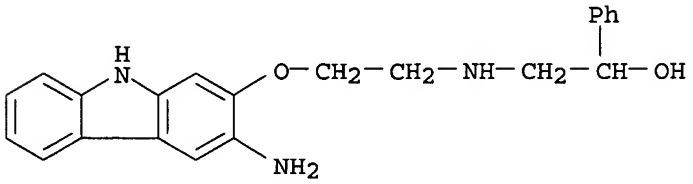
Absolute stereochemistry.



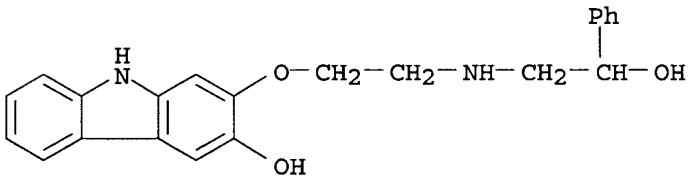
RN 268728-33-2 CAPLUS
CN Acetamide, N-[2-[2-[(2-hydroxy-2-phenylethyl)amino]ethoxy]-9H-carbazol-3-yl]- (9CI) (CA INDEX NAME)



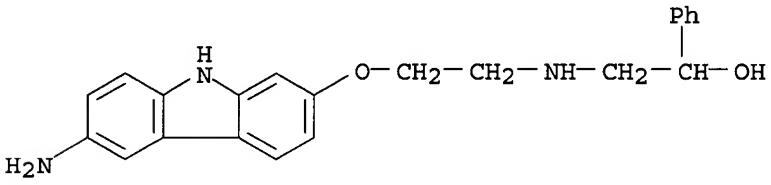
RN 268728-34-3 CAPLUS
CN Benzenemethanol, .alpha.-[[[2-[(3-amino-9H-carbazol-2-yl)oxy]ethyl]amino]methyl]- (9CI) (CA INDEX NAME)



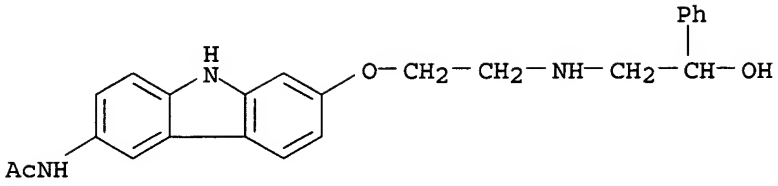
RN 268728-35-4 CAPLUS
CN 9H-Carbazol-3-ol, 2-[2-[(2-hydroxy-2-phenylethyl)amino]ethoxy]- (9CI) (CA INDEX NAME)



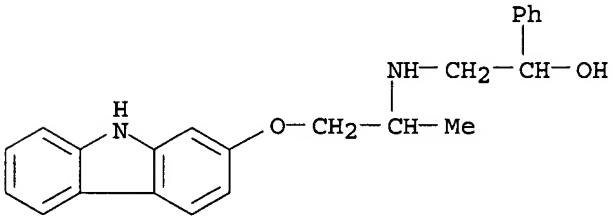
RN 268728-36-5 CAPLUS
CN Benzenemethanol, .alpha.-[[[2-[(6-amino-9H-carbazol-2-yl)oxy]ethyl]amino]methyl]- (9CI) (CA INDEX NAME)



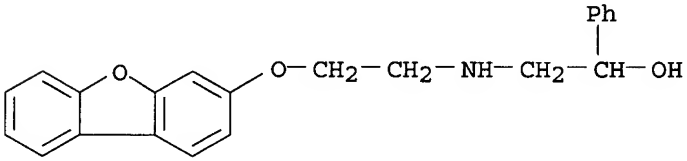
RN 268728-37-6 CAPLUS
CN Acetamide, N-[7-[2-[(2-hydroxy-2-phenylethyl)amino]ethoxy]-9H-carbazol-3-yl]- (9CI) (CA INDEX NAME)



RN 268728-38-7 CAPLUS
CN Benzenemethanol, .alpha.-[[[2-(9H-carbazol-2-yloxy)-1-methylethyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 268728-39-8 CAPLUS
CN Benzenemethanol, .alpha.-[[[2-(3-dibenzofuranyloxy)ethyl]amino]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:537623 CAPLUS
DOCUMENT NUMBER: 127:161695
TITLE: Preparation of tricyclic compounds as .beta.3 adrenaline receptor agonists
INVENTOR(S): Miyoshi, Shiro; Ogawa, Kohei
PATENT ASSIGNEE(S): Asahi Kasei Kogyo Kabushiki Kaisha, Japan; Miyoshi, Shiro; Ogawa, Kohei
SOURCE: PCT Int. Appl., 221 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9725311	A1	19970717	WO 1996-JP3689	19961218

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,

ES, FI, GB, GE, HU, IS, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

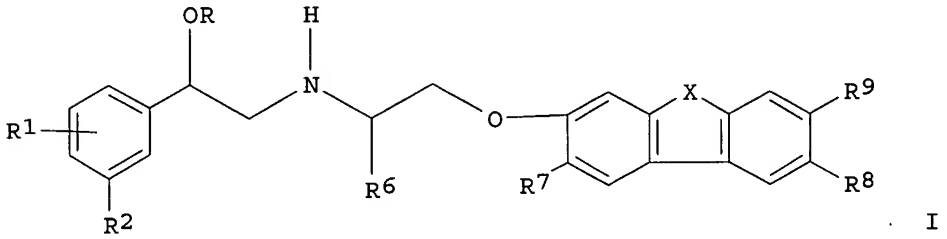
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

JP 09249623	A2	19970922	JP 1996-333263	19961213
JP 3124242	B2	20010115		
JP 2000239255	A2	20000905	JP 2000-45460	19961213
CA 2242351	AA	19970717	CA 1996-2242351	19961218
AU 9711708	A1	19970801	AU 1997-11708	19961218
AU 715233	B2	20000120		
EP 882707	A1	19981209	EP 1996-942564	19961218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1209119	A	19990224	CN 1996-180079	19961218
CN 1083831	B	20020501		
NO 9803197	A	19980910	NO 1998-3197	19980710
US 6037362	A	20000314	US 1998-101232	19980805
US 6187809	B1	20010213	US 1999-472937	19991228
CN 1295064	A	20010516	CN 2000-131988	20001102
NO 2001002876	A	19980910	NO 2001-2876	20010611

PRIORITY APPLN. INFO.: JP 1996-2576 A 19960110
JP 1996-333263 A3 19961213
WO 1996-JP3689 W 19961218

OTHER SOURCE(S): MARPAT 127:161695

GI



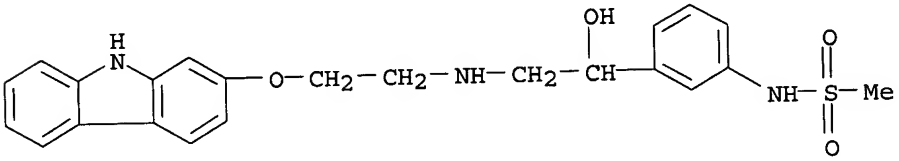
I

AB The title compds. I [R represents hydrogen or methyl; R1 represents hydrogen, halogeno, hydroxy, benzyloxy, amino, or hydroxymethyl; R2 represents hydrogen, hydroxymethyl, etc.; R6 represents hydrogen or lower alkyl; and X represents nitrogen, oxygen, sulfur, or methylene, provided that when X represents nitrogen, oxygen, or sulfur, then R9 represents hydrogen, one of R7 and R8 represents hydrogen, and the other represents hydrogen, amino, acetylamino, or hydroxy, and when X represents methylene, then R7 and R8 each represents hydrogen and R9 represents hydrogen, amino, etc.] are prepd. I are useful as drugs for the treatment and prevention of diabetes, obesity, hyperlipemia, etc. (.+-.)-N-[5-[2-[2-(9H-Carbazol-2-yloxy)ethylamino]-1-hydroxyethyl]-2-hydroxyphenyl]methanesulfonamide hydrochloride at 10 mg/kg i.p. in mice increased the concn. of free fatty acids in serum.

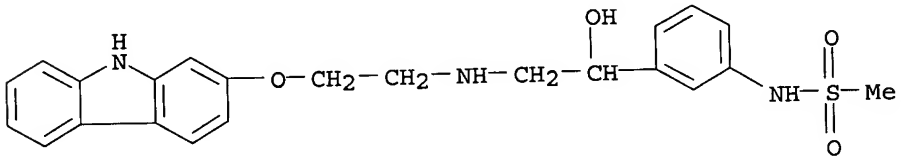
IT **193759-98-7P 193759-99-8P 193760-00-8P**
193760-01-9P 193760-02-0P 193760-03-1P

193760-06-4P 193760-07-5P 193760-11-1P
193760-30-4P 193760-31-5P 193760-32-6P
193760-33-7P 193760-34-8P 193760-35-9P
193761-11-4P 193761-13-6P 193761-15-8P
193761-29-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tricyclic compds. as .beta.3 adrenaline receptor agonists)

RN 193759-98-7 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

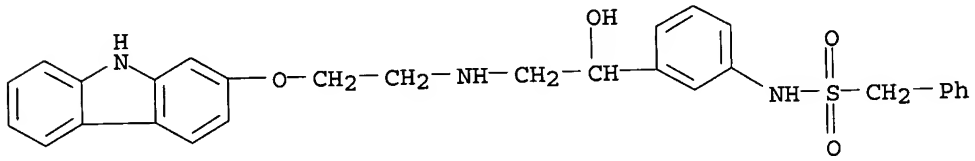


RN 193759-99-8 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

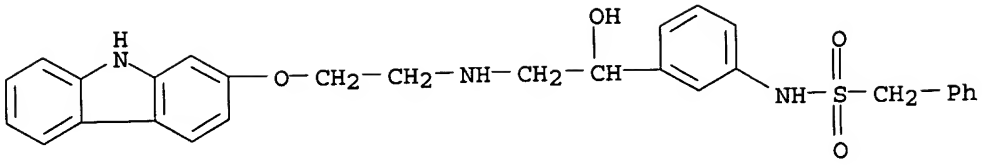


● HCl

RN 193760-00-8 CAPLUS
CN Benzenemethanesulfonamide, N-[3-[2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

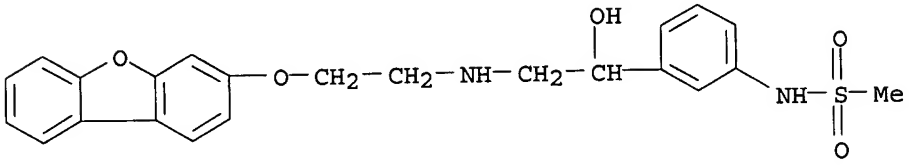


RN 193760-01-9 CAPLUS
CN Benzenemethanesulfonamide, N-[3-[2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

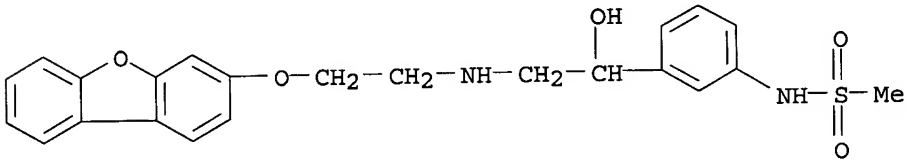


● HCl

RN 193760-02-0 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-(3-dibenzofuranyloxy)ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)



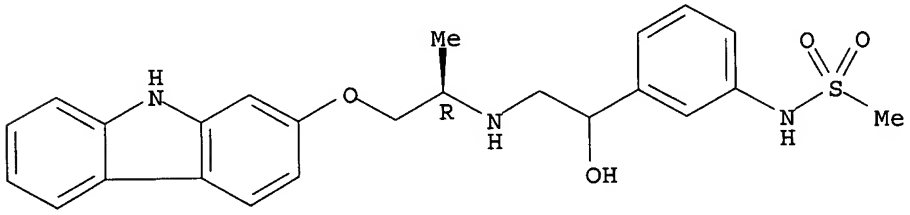
RN 193760-03-1 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-(3-dibenzofuranyloxy)ethyl]amino]-1-hydroxyethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 193760-06-4 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[(1R)-2-(9H-carbazol-2-yloxy)-1-methylethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)

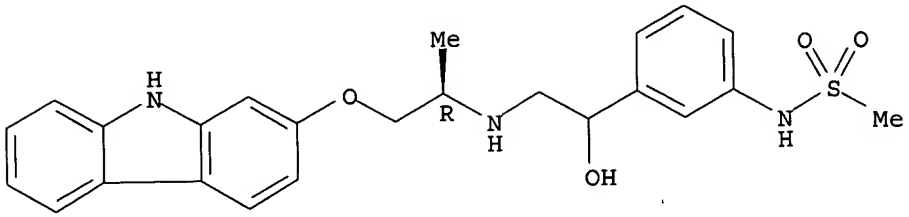
Absolute stereochemistry.



RN 193760-07-5 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-(9H-carbazol-2-yloxy)-1-methylethyl]amino]-

1-hydroxyethyl]phenyl]-, monohydrochloride, [2(R)]- (9CI) (CA INDEX NAME)

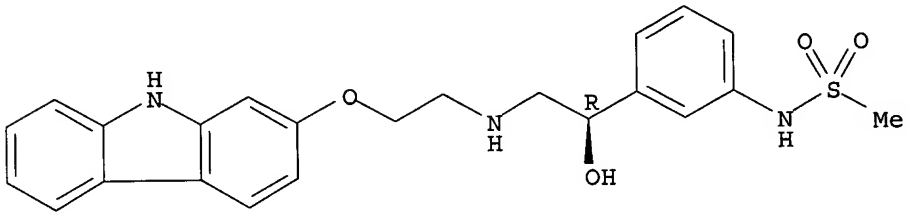
Absolute stereochemistry.



● HCl

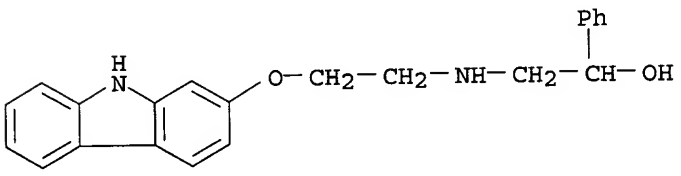
RN 193760-11-1 CAPLUS
CN Methanesulfonamide, N-[3-[(1R)-2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

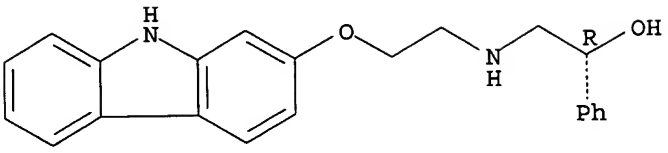
RN 193760-30-4 CAPLUS
CN Benzenemethanol, .alpha.-[[[2-(9H-carbazol-2-yloxy)ethyl]amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

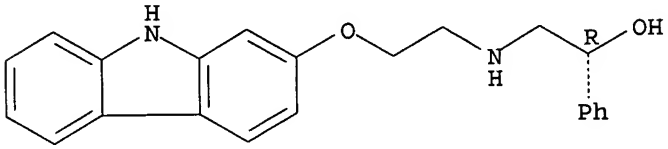
RN 193760-31-5 CAPLUS
CN Benzenemethanol, .alpha.-[[[2-(9H-carbazol-2-yloxy)ethyl]amino]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 193760-32-6 CAPLUS
CN Benzenemethanol, .alpha.-[[[2-(9H-carbazol-2-yloxy)ethyl]amino]methyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

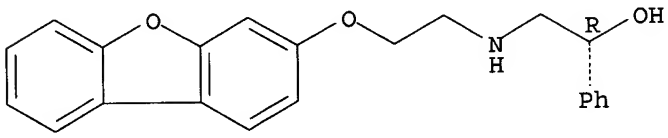
Absolute stereochemistry.



● HCl

RN 193760-33-7 CAPLUS
CN Benzenemethanol, .alpha.-[[[2-(3-dibenzofuranyloxy)ethyl]amino]methyl]-, hydrochloride, (R)- (9CI) (CA INDEX NAME)

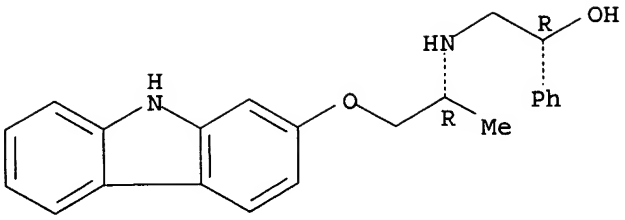
Absolute stereochemistry.



● HCl

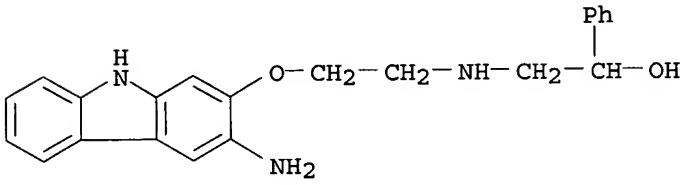
RN 193760-34-8 CAPLUS
CN Benzenemethanol, .alpha.-[[[2-(9H-carbazol-2-yloxy)-1-methylethyl]amino]methyl]-, monohydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



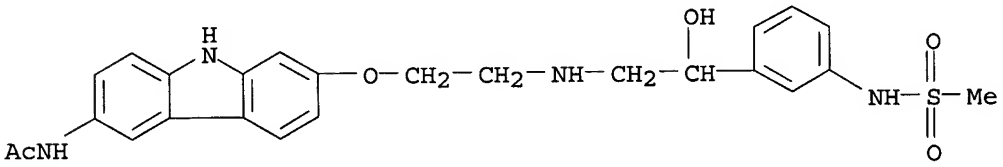
● HCl

RN 193760-35-9 CAPLUS
CN Benzenemethanol, .alpha.-[[[2-[(3-amino-9H-carbazol-2-yl)oxy]ethyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

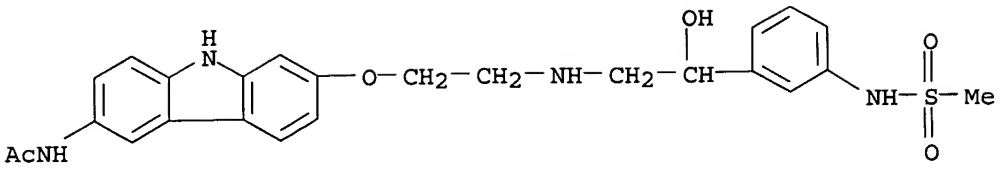


●2 HCl

RN 193761-11-4 CAPLUS
CN Acetamide, N-[7-[2-[[2-hydroxy-2-[3-[(methylsulfonyl)amino]phenyl]ethyl]amino]ethoxy]-9H-carbazol-3-yl]- (9CI) (CA INDEX NAME)



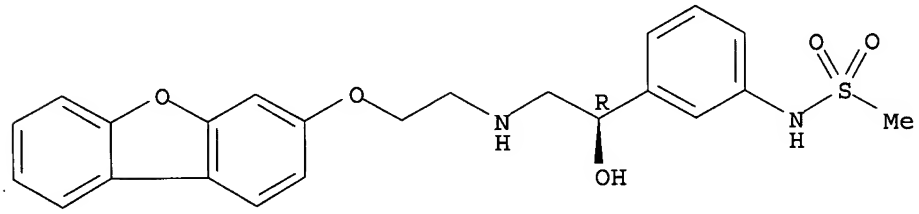
RN 193761-13-6 CAPLUS
CN Acetamide, N-[7-[2-[[2-hydroxy-2-[3-[(methylsulfonyl)amino]phenyl]ethyl]amino]ethoxy]-9H-carbazol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

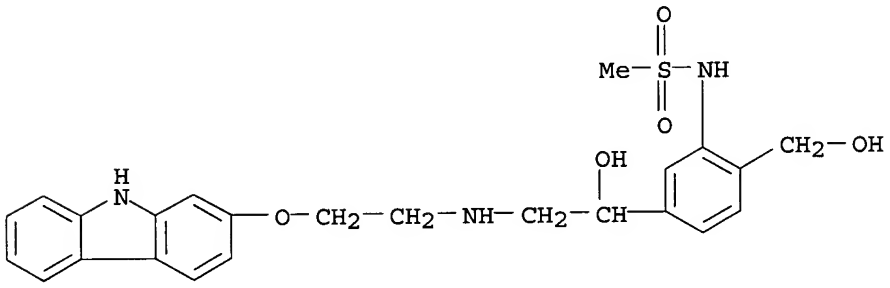
RN 193761-15-8 CAPLUS
CN Methanesulfonamide, N-[3-[2-[[2-(3-dibenzofuranyloxy)ethyl]amino]-1-hydroxyethyl]phenyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

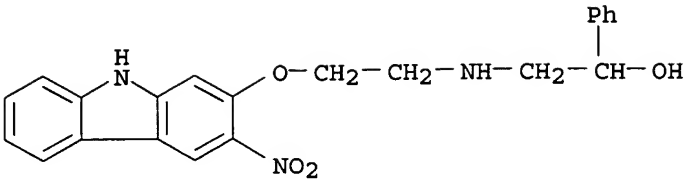


● HCl

RN 193761-29-4 CAPLUS
CN Methanesulfonamide, N-[5-[2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]-2-(hydroxymethyl)phenyl]- (9CI) (CA INDEX NAME)



IT **193761-70-5P 193762-56-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of tricyclic compds. as .beta.3 adrenaline receptor agonists)
RN 193761-70-5 CAPLUS
CN Benzenemethanol, .alpha.-[[[2-[(3-nitro-9H-carbazol-2-yl)oxy]ethyl]amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 193762-56-0 CAPLUS
CN Methanesulfonamide, N-[2-[(acetyloxy)methyl]-5-[2-[[2-(9H-carbazol-2-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)